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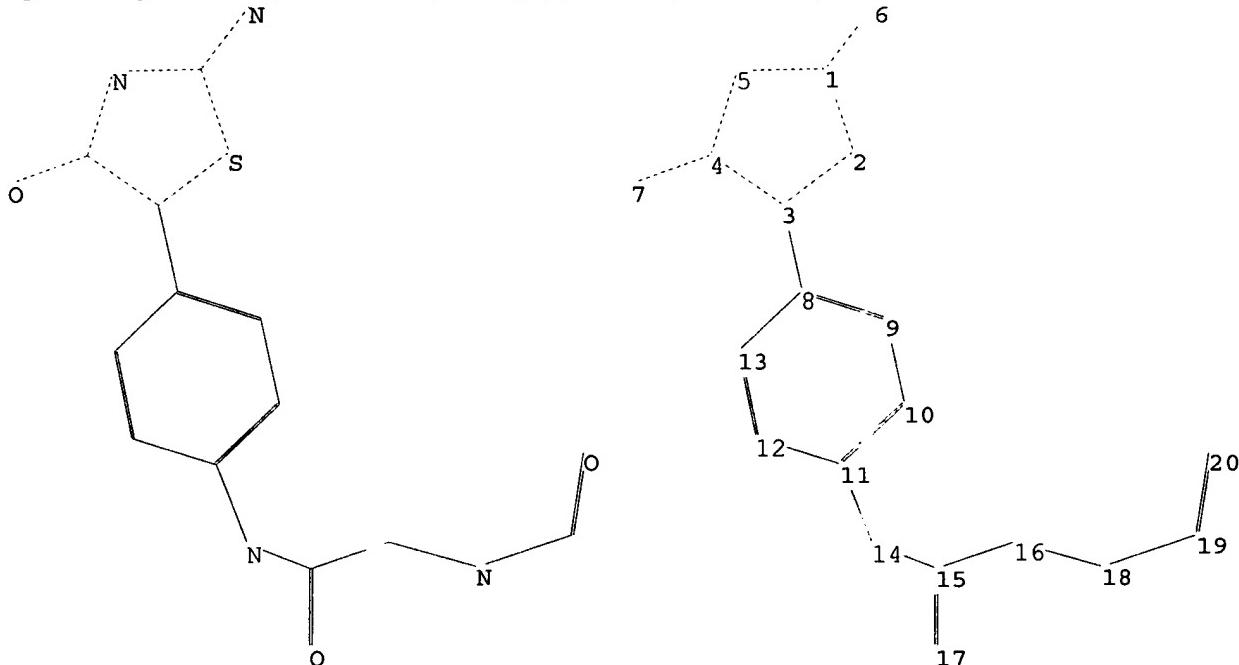
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

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=>
Uploading C:\Program Files\Stnexp\Queries\10637099\10637099b.str



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chain nodes :
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ring nodes :
1 2 3 4 5 8 9 10 11 12 13
chain bonds :
1-6 3-8 4-7 11-14 14-15 15-16 15-17 16-18 18-19 19-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5 4-7 11-14 14-15 15-17 16-18 18-19 19-20
exact bonds :
3-8 15-16
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS

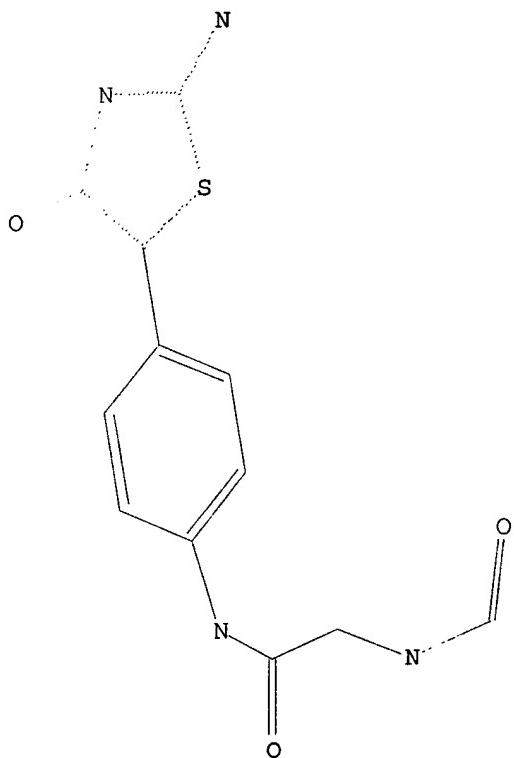
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L1 STRUCTURE UPLOADED

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=> d
L1 HAS NO ANSWERS
L1 STR

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:38:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -          2 TO ITERATE

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100.0% PROCESSED 2 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 13:38:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS 57 ANSWERS
SEARCH TIME: 00.00.01

L3 57 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 167.38 167.59

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=> s l3
L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:143100 CAPLUS

DOCUMENT NUMBER: 140:199315

TITLE: Preparation of iminothiazolidinone amino acid derivatives as inhibitors of HCV replication

INVENTOR(S): Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren; Lemm, Julie; O'Boyle, Donald; Gao,

Min; Colonna, Richard

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIIXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|--|----------|-----------------|------------|
| WO 2004014852 | A2 | 20040219 | WO 2003-US24717 | 20030808 |
| WO 2004014852 | A3 | 20040422 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, C2, DE, DK, DM, D2, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BU, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2005069522 | A1 | 20050331 | US 2003-637156 | 20030808 |
| US 2005096364 | A1 | 20050505 | US 2003-637099 | 20030808 |
| PRIORITY APPN. INFO.: | | | US 2002-402661P | P 20020812 |
| | | | US 2002-403694P | P 20020815 |

OTHER SOURCE(S): MARPAT 140:199315
GI

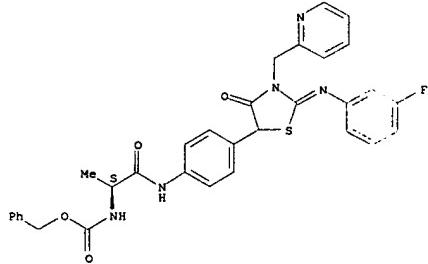
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I (R1 = C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 aryl(C1-C6)alkoxy, C6-C10 aryl(C1-C6)alkyl, C6-C10 alkyl, etc.) R2, R3 = independently C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 alkoxy, C6-C10 aryloxy, heterocyclyl, C6-C10 aryl(C1-C6)alkyl, C6-C10 aryl(C1-C6)alkoxy, etc., with the proviso that one of R2 or R3 can be a bond and R2 and R3 are joined to form a cyclic structure; R4 = C1-C4 alkyl, optionally substituted with 1-3 halo, 1-3 oxygen, or 1-3 nitrogen, said R4 having an S stereoconfiguration; R5 = H or a bond wherein R4 and R5 are joined to form a cyclic structure) were prepared as inhibitors of HCV

replication. Thus, reaction of 5-(4-aminophenyl)-2-(3-fluorophenylimino)-3-furan-2-ylmethylthiazolidin-4-one (preparation given) with

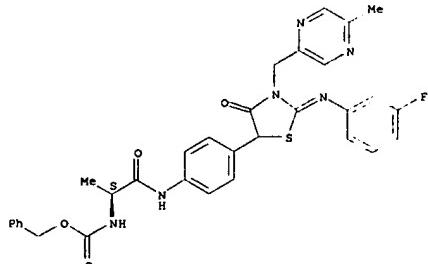
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Carbamic acid, [(1S)-2-[(4-[(2-(3-fluorophenyl)imino)-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-59-4 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[(2-(3-fluorophenyl)imino)-4-oxo-3-(5-methylpyrazinyl)methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



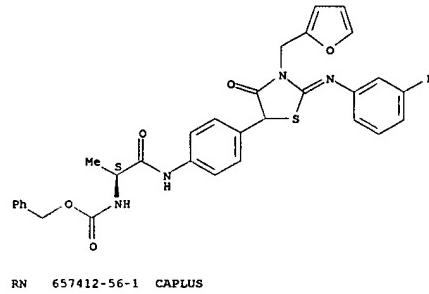
RN 657412-67-4 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
N-benzoyloxycarbonyl-L-alanyl chloride gave compd. II. The prep'd. compds. were assayed for the inhibition of HCV replication cell line and were classified with activity of EC50 < 0.1 μ M, 0.1 μ M \leq EC50 \leq 5 μ M, 1 μ M \leq EC50 \leq 5 μ M, or EC50 \geq 5 μ M.

IT 657412-48-1P 657412-56-1P 657412-59-4P
657412-67-4P 657412-73-2P 657412-89-0P
657412-91-4P 657412-93-6P 657412-95-8P
657412-97-0P 657412-99-2P 657413-00-8P
657413-01-9P 657413-02-0P 657413-03-3P
657413-07-5P 657413-09-7P 657413-10-0P
657413-12-2P 657413-15-5P 657413-17-7P
657413-19-9P 657413-23-5P 657413-25-7P
657413-27-5P 657413-29-1P 657413-31-5P
657413-33-7P 657413-35-9P 657413-38-2P
657413-40-6P 657413-41-7P 657413-44-0P
657413-46-2P 657413-48-4P 657413-52-0P
657413-54-2P 657413-56-4P 657413-58-6P
657413-64-4P 657413-65-5P 657413-68-8P
657413-71-3P 657413-74-6P 657413-83-7P
657413-84-0P 657413-92-8P 657413-93-9P
657413-94-0P 657413-95-1P 657413-96-2P
657413-97-3P 657413-98-4P 657414-05-6P
657414-06-7P 657414-13-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of iminothiazolidinone amino acid derivs. as inhibitors of HCV replication)

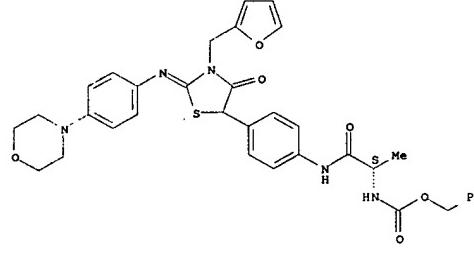
RN 657412-48-1 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[(3-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



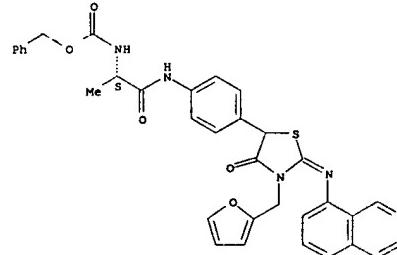
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-(8-isquinolinyl)imino)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

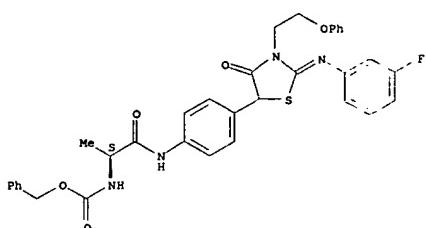


RN 657412-73-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-(8-isquinolinyl)imino)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

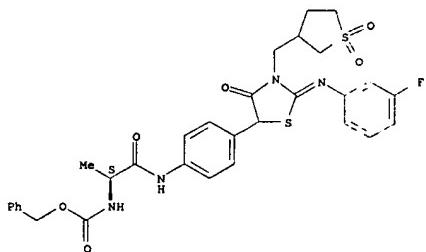


RN 657412-89-0 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-(8-isquinolinyl)imino)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



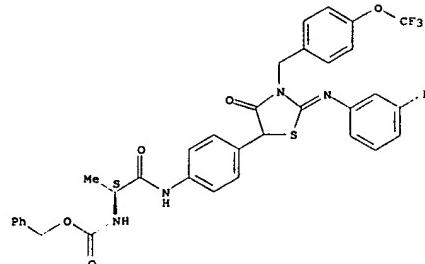
RN 657412-91-4 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(tetrahydro-1,1-dioxido-3-thienyl)methyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



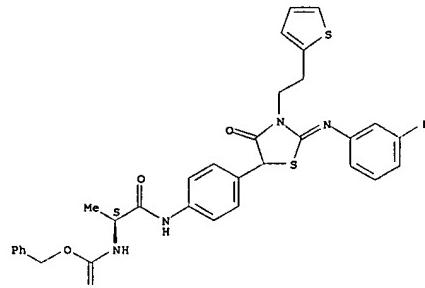
RN 657412-93-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(4-(trifluoromethoxy)phenyl)methyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



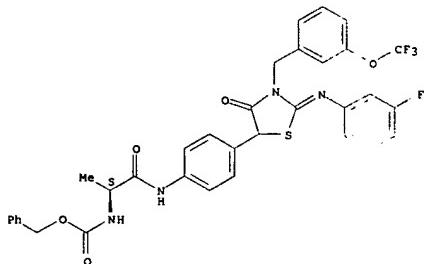
RN 657412-95-8 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(2-(2-thienyl)ethyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



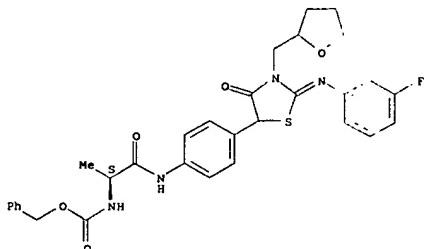
RN 657412-97-0 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(3-(trifluoromethoxy)phenyl)methyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



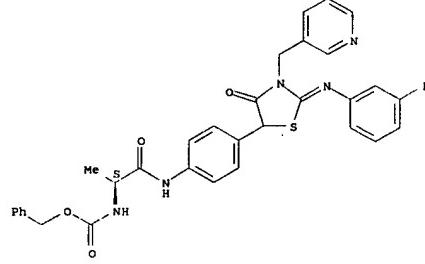
RN 657412-99-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(4-((3,4-dimethoxyphenyl)ethyl)amino)-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



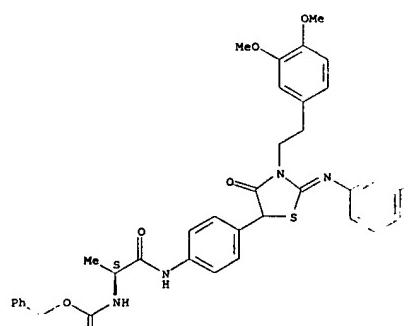
RN 657413-00-8 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-[(3-pyridinylmethyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 657413-01-9 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-(4-dimethoxyphenyl)ethyl)amino]-4-oxo-3-[(3-(4-methoxyphenyl)methyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

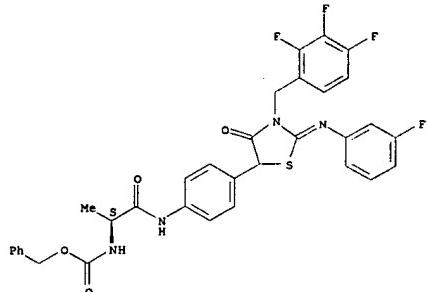
Absolute stereochemistry.
Double bond geometry unknown.



||
O

RN 657413-02-0 CAPLUS
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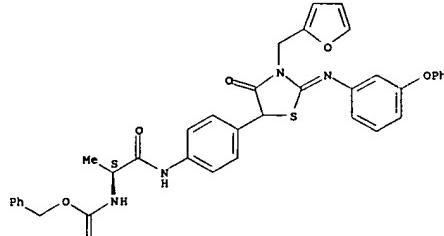
Absolute stereochemistry.
 Double bond geometry unknown.



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 CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-4-oxo-2-((3-phenoxypyphenyl)imino)-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

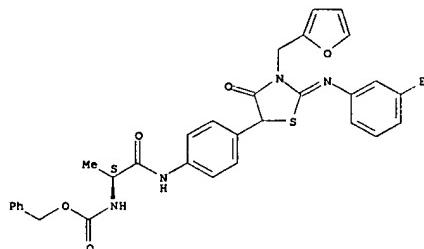
Absolute stereochemistry.
 Double bond geometry unknown.

PAGE 2-A



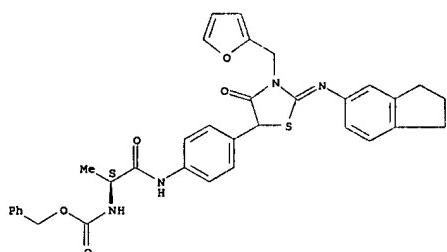
RN 657413-07-5 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-[(3-ethylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



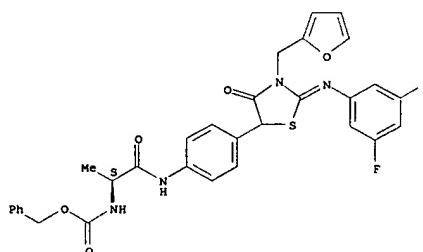
RN 657413-09-7 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-[(2,3-dihydro-1H-inden-5-yl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



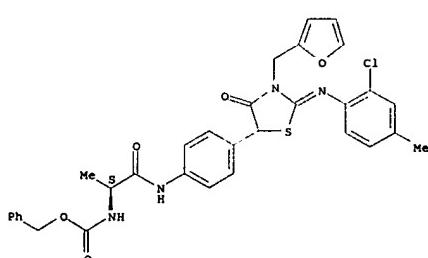
RN 657413-10-0 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-[(2-chloro-4-methylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



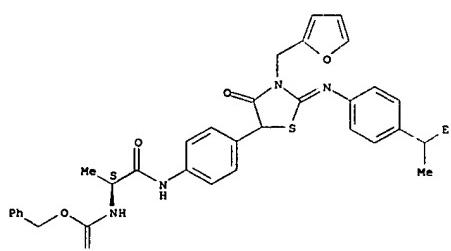
RN 657413-15-5 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-2-((1-methylpropyl)phenyl)imino]-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



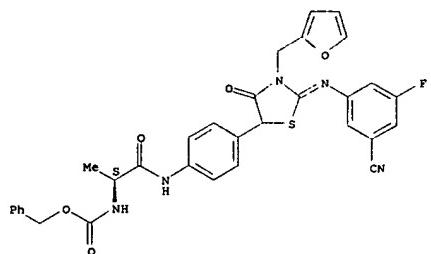
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 CN Carbamic acid, [(1S)-2-[(4-[2-((3,5-difluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



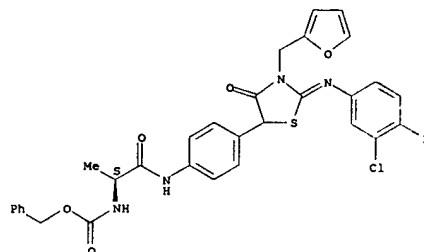
RN 657413-17-7 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-((3-cyano-5-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



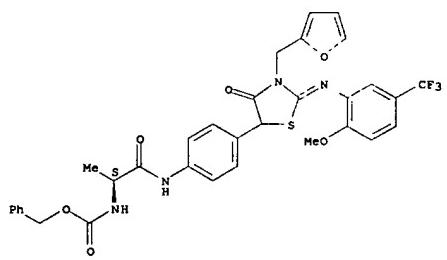
RN 657413-19-9 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-2-methoxy-5-(trifluoromethyl)phenyl]imino]-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

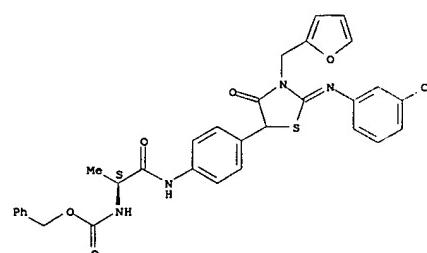
RN 657413-25-7 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[3-(3-chlorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

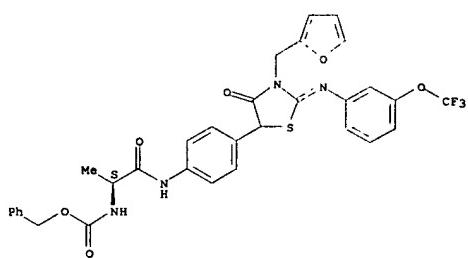
RN 657413-23-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-chloro-4-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

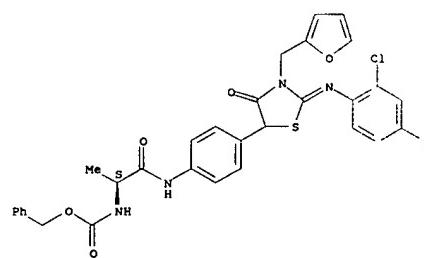
RN 657413-27-9 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-4-oxo-2-[(3-trifluoromethoxyphenyl)imino]-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

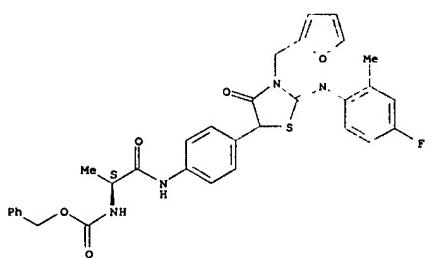
RN 657413-29-1 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-[(4-fluoro-2-methylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

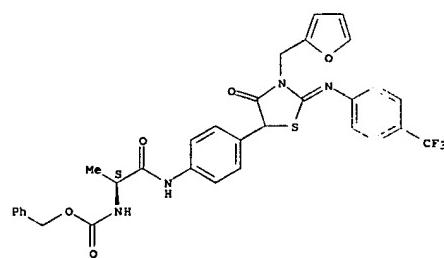
RN 657413-33-7 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-4-oxo-2-[(4-trifluoromethylphenyl)imino]-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 657413-31-5 CAPLUS

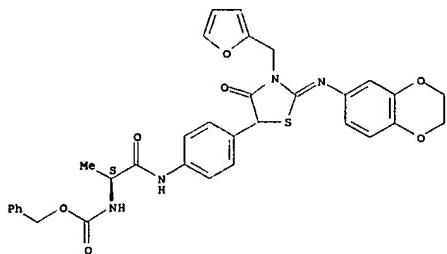
CN Carbamic acid, [(1S)-2-[(4-[2-[(2-chloro-4-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 657413-35-9 CAPLUS

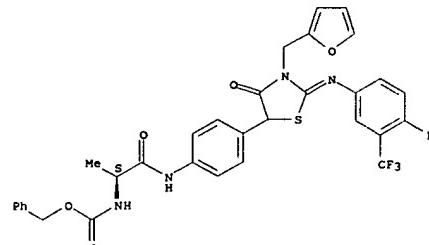
CN Carbamic acid, [(1S)-2-[(4-[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl phenyl]amino]-1-methyl-2-oxoethyl phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



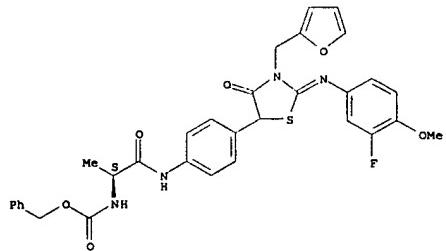
RN 657413-38-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluoro-4-methoxyphenyl)imino]-3-(2-furanylmethyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



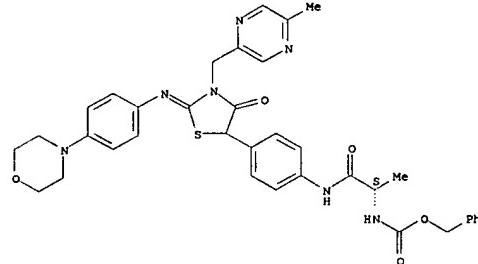
RN 657413-41-7 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(4-[3-[(5-methylpyrazinyl)methyl]-2-[4-(4-morpholinyl)phenyl]imino]-4-oxo-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



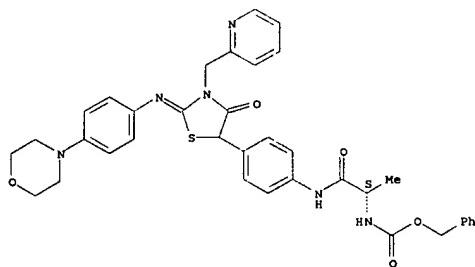
RN 657413-40-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(4-fluoro-3-(trifluoromethyl)phenyl)imino]-3-(2-furanylmethyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



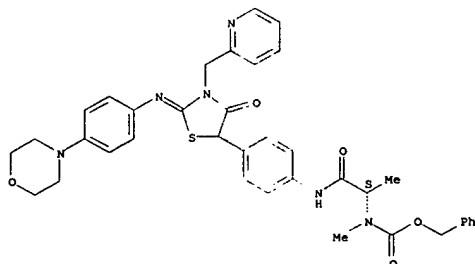
RN 657413-44-0 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



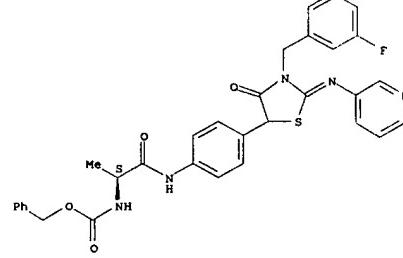
RN 657413-46-2 CAPLUS
CN Carbamic acid, methyl[(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



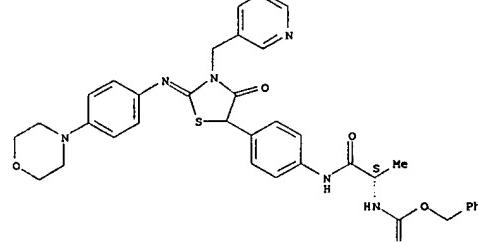
RN 657413-48-4 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[3-[(3-fluorophenyl)methyl]-4-oxo-2-(3-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



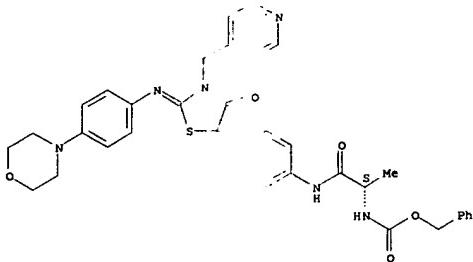
RN 657413-52-0 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(3-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



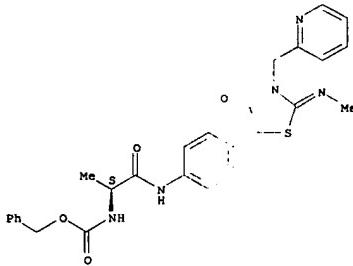
RN 657413-54-2 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(4-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



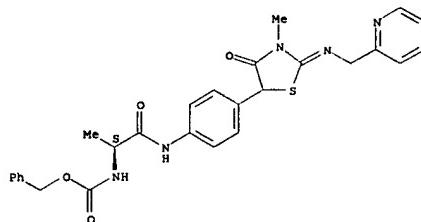
RN 657413-56-4 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-(2-(methylimino)-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl)phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



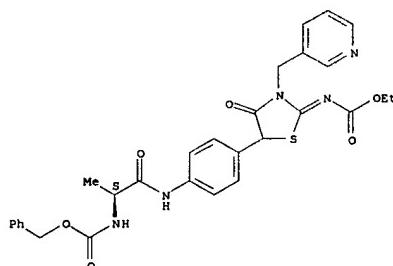
RN 657413-58-6 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-(3-methyl-4-oxo-2-[(2-pyridinylmethyl)imino]-5-thiazolidinyl)phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



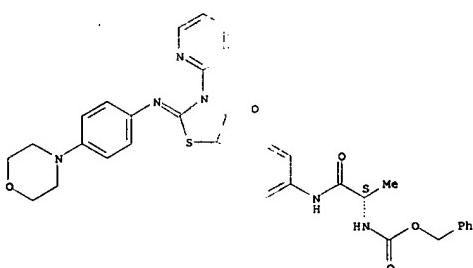
RN 657413-64-4 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-[(ethoxycarbonyl)imino]-4-oxo-3-(3-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



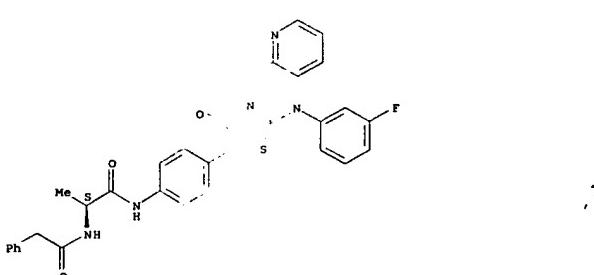
RN 657413-65-5 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



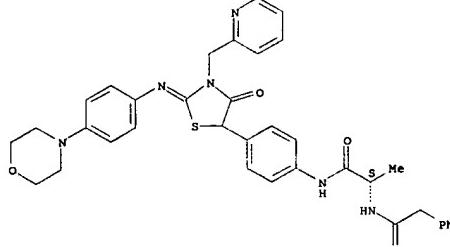
RN 657413-68-8 CAPLUS
 CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(3-fluorophenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



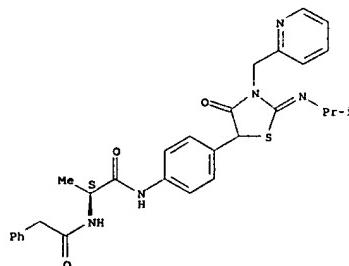
RN 657413-71-3 CAPLUS
 CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



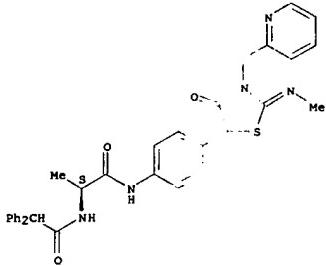
RN 657413-74-6 CAPLUS
 CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



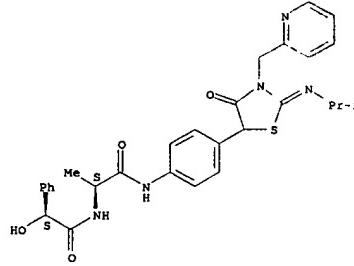
RN 657413-83-7 CAPLUS
 CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-(methylimino)-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]- α -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



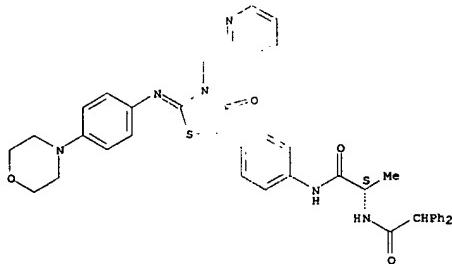
RN 657413-84-8 CAPLUS
CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-2-oxoethyl]- α -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



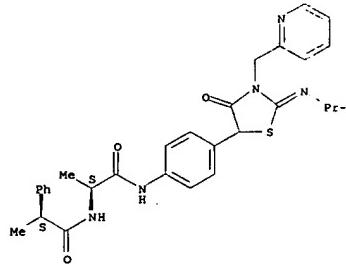
RN 657413-93-9 CAPLUS
CN Benzenacetamide, α -methyl-N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-2-oxoethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



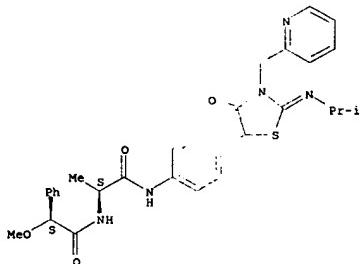
RN 657413-92-8 CAPLUS
CN Benzenacetamide, α -hydroxy-N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-2-oxoethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



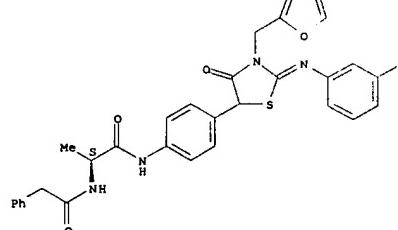
RN 657413-94-0 CAPLUS
CN Benzenacetamide, α -methoxy-N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-2-oxoethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



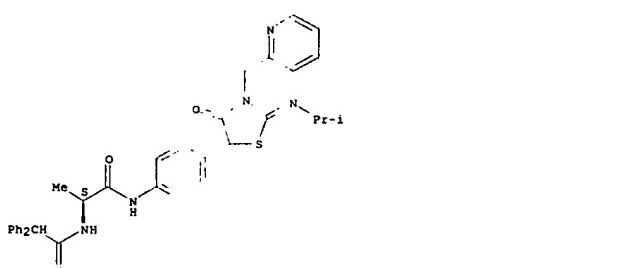
RN 657413-95-1 CAPLUS
CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-2-oxoethyl]- α -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



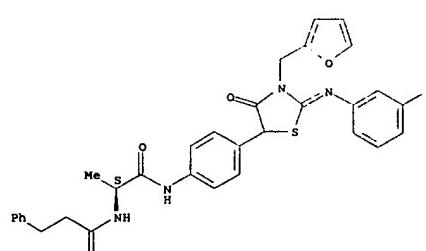
RN 657413-97-3 CAPLUS
CN Benzenepropanamide, N-[(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



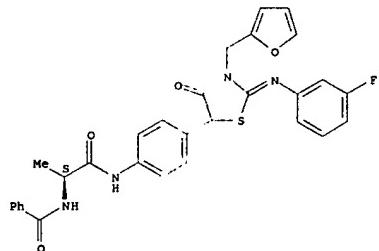
RN 657413-96-2 CAPLUS
CN Benzenacetamide, N-[(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



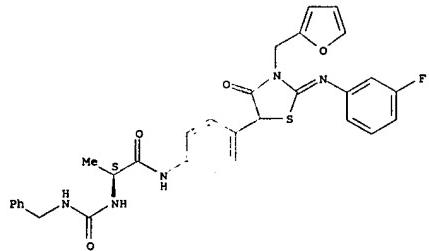
RN 657413-98-4 CAPLUS
CN Benzamide, N-[(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



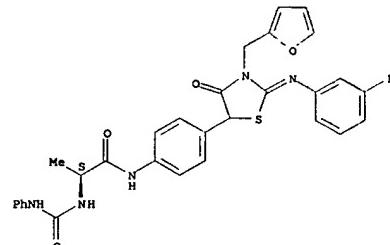
RN 657414-05-6 CAPLUS

CN Propanamide, N-[4-(2-[(3-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]-2-[(phenylmethyl)amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

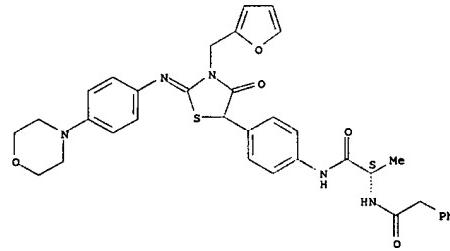
RN 657414-06-7 CAPLUS

CN Propanamide, N-[4-(2-[(3-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]-2-[(phenylamino)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 657414-13-6 CAPLUS

CN Benzeneacetamide, N-[(1S)-2-[(4-(3-(2-furanyl methyl)-2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-5-thiazolidinyl)phenyl)amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

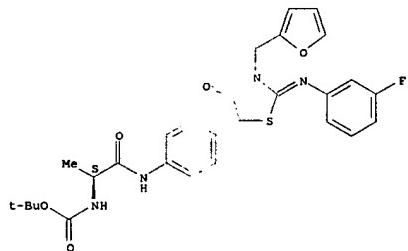
Absolute stereochemistry.
Double bond geometry unknown.

IT 657414-29-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of iminothiazolidinone amino acid derivs. as inhibitors of HCV replication)

RN 657414-29-4 CAPLUS

CN Carbamic acid, (1S)-2-[(4-(2-[(3-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl)phenyl)amino]-1-methyl-2-oxoethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

ACCESSION NUMBER: 2004:142910 CAPLUS

DOCUMENT NUMBER: 140:199742

TITLE: Preparation of iminothiazolidinone amino acid derivatives as combination pharmaceutical agents for use as inhibitors of HCV replication

INVENTOR(S): Colombo, Richard; Lemm, Julie; O'Boyle, Donald; Gao, Min; Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 129 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004014313 | A2 | 20040219 | WO 2003-US25036 | 20030808 |
| WO 2004014313 | A3 | 20051215 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005069522 | A1 | 20050331 | US 2003-637156 | 20030808 |
| US 2005096364 | A1 | 20050505 | US 2003-637099 | 20030808 |
| PRIORITY APPLN. INFO.: | | | US 2002-402661P | P 20020812 |
| | | | US 2002-403694P | P 20020815 |

OTHER SOURCE(S): MARPAT 140:199742
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are combination pharmaceutical agents for the treatment of an HCV infection comprising a compound which is effective in inhibiting the function of the HCV NS5A protein and another compound having anti-HCV activity. Compds. which can inhibit the function of the NS5A protein have

structure I [R1, R2, R3 are (cyclo)alkyl, aryl, alkoxy, aryloxy, arylalkyl, etc.; R4 is alkyl, optionally substituted by halogen, oxygen, or nitrogen; R2/R3 and R4/R5 can form rings] or their pharmaceutically-acceptable salt or prodrugs. Compds. having anti-HCV activity are selected from HCV metalloprotease, HCV serine protease, HCV polymerase, HCV helicase, etc. Thus, compound II was prepared by reaction of 5-(4-aminophenyl)-2-[(3-fluorophenyl)imino]-3-(furan-2-ylmethyl)thiazolidin-4-one (preparation given) with N-(benzyloxycarbonyl)-L-alanyl chloride (Cbz-L-Ala-Cl) and showed EC50 = 0.1-1 μM in the HCV

replicon cell line assay.
IT 657412-48-1P 657412-56-1P 657412-59-4P
657412-67-4P 657412-73-2P 657412-89-0P
657412-91-4P 657412-93-6P 657412-95-8P
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657413-46-2P 657413-48-4P 657413-52-0P
657413-54-2P 657413-56-4P 657413-58-6P
657413-64-4P 657413-65-5P 657413-68-8P
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657414-06-7P 657414-13-6P

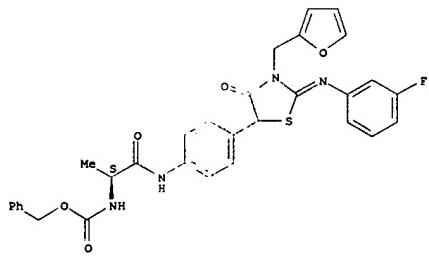
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of iminothiazolidinone amino acid derivs. as combination pharmaceutical agents for use as inhibitors of HCV replication)

RN 657412-48-1 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

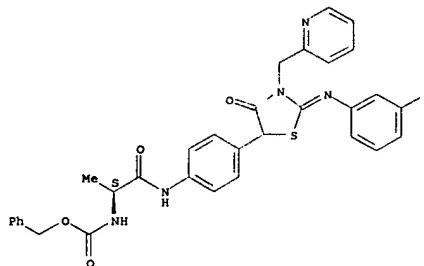


RN 657412-56-1 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

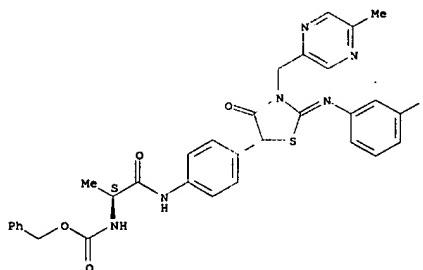
Double bond geometry unknown.



RN 657412-59-4 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-3-(5-methylpyrazinyl)methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

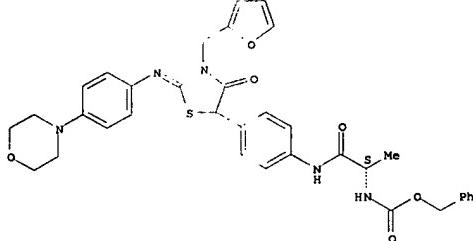
Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-67-4 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-2-[(4-(4-morpholinyl)phenyl)imino]-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

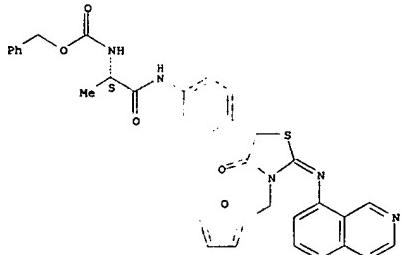
Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-73-2 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-2-(8-isouquinolinyllimino)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-89-0 CAPLUS

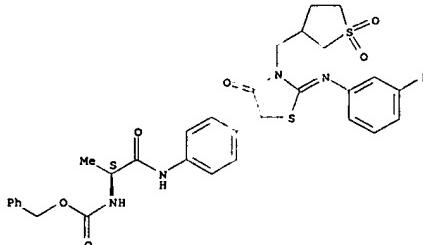
CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-(2-phenoxyethyl)-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 657412-91-4 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-(tetrahydro-1,1-dioxido-3-thienyl)methyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

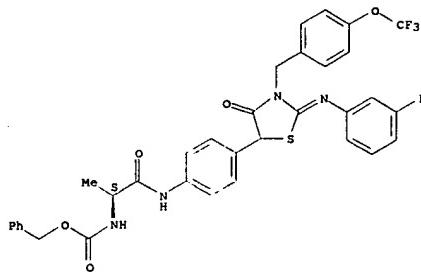
Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-93-6 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-[(trifluoromethoxy)phenyl]methyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

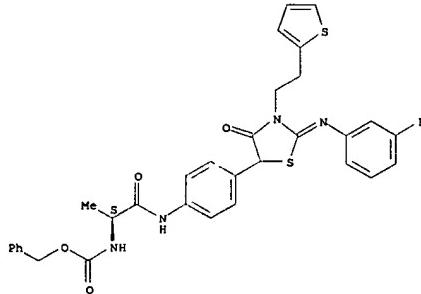
Absolute stereochemistry.
Double bond geometry unknown.



RN 657412-95-8 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-(2-thienyl)ethyl]-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

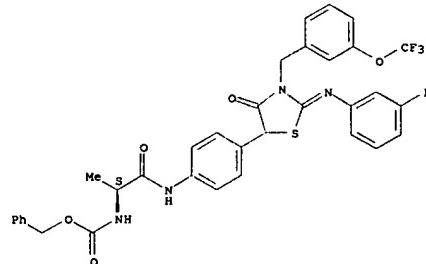
Double bond geometry unknown.



RN 657412-97-0 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-(2-(3-fluorophenyl)imino)-4-oxo-3-[(3-trifluoromethoxy)phenyl]methyl]-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

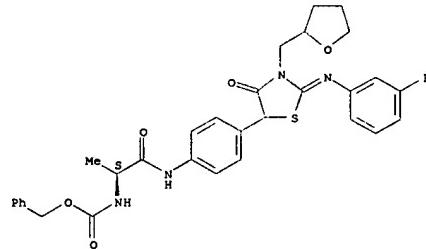
Double bond geometry unknown.



RN 657412-99-2 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-(tetrahydro-2-furanyl)methyl]-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

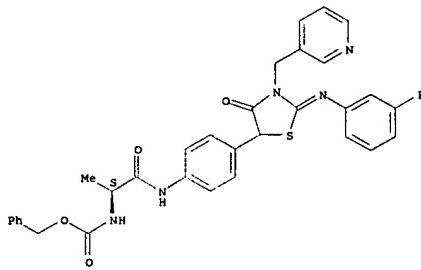
Double bond geometry unknown.



RN 657413-00-8 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-(3-pyridinylmethyl)-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



RN 657413-01-9 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[3-(2-(3,4-dimethoxyphenyl)ethyl)-2-((3-fluorophenyl)imino)-4-oxo-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

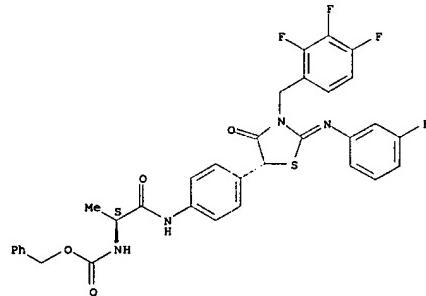
Double bond geometry unknown.

PAGE 2-A



RN 657413-02-0 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[2-((3-fluorophenyl)imino)-4-oxo-3-((2,3,4-trifluorophenyl)methyl)-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

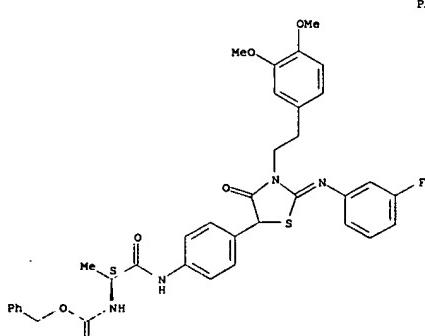
Absolute stereochemistry.
 Double bond geometry unknown.

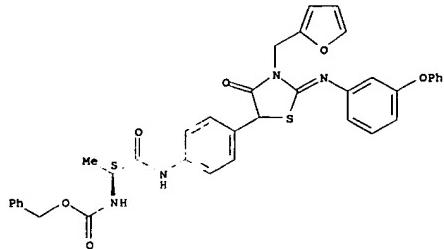


RN 657413-05-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanylmethyl)-4-oxo-2-(3-phenoxyphenyl)imino)-5-thiazolidinyl]phenylamino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



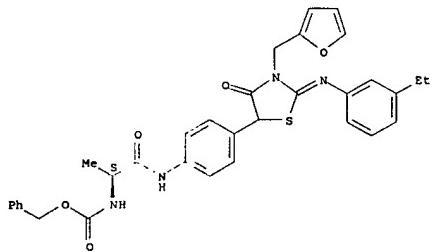


RN 657413-07-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3-ethylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

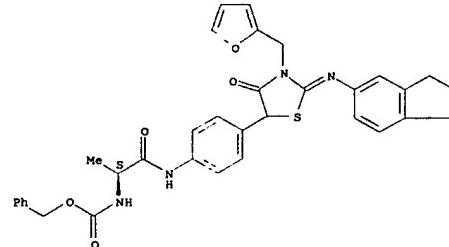


RN 657413-09-7 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(2,3-dihydro-1H-inden-5-yl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

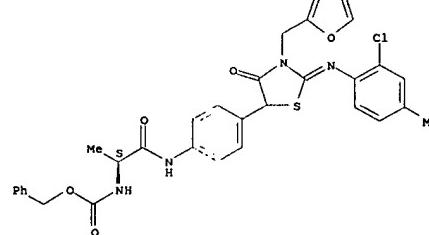


RN 657413-10-0 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(2-chloro-4-methylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

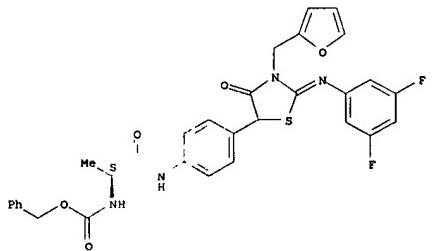


RN 657413-12-2 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3,5-difluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

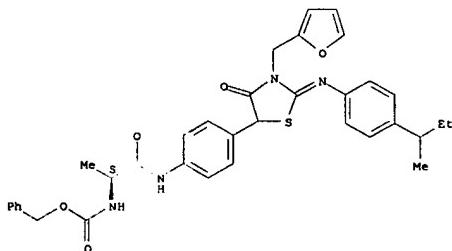


RN 657413-15-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-[(1-methylpropyl)phenyl]imino)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

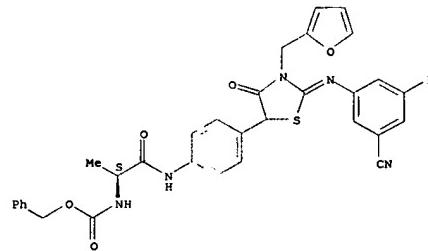


RN 657413-17-7 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3-cyano-5-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

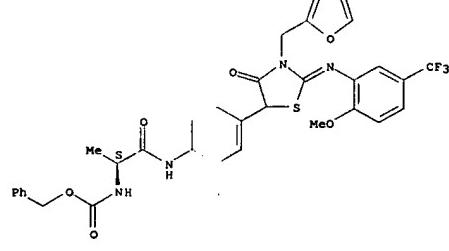


RN 657413-19-9 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3-(2-furanyl methyl)-2-[(trifluoromethyl)phenyl]imino)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

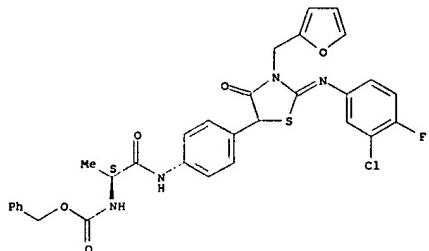


RN 657413-23-5 CAPLUS

CN Carbamic acid, [(1S)-2-[(4-[(3-chloro-4-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

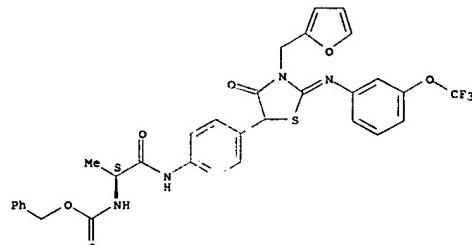
Absolute stereochemistry.

Double bond geometry unknown.



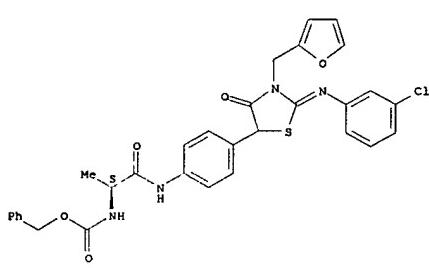
RN 657413-25-7 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-chlorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



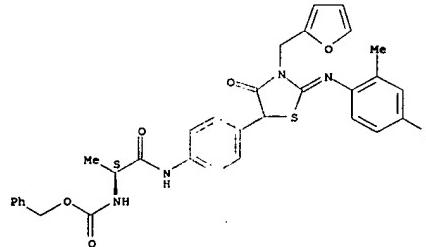
RN 657413-29-1 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(4-fluoro-2-methylphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



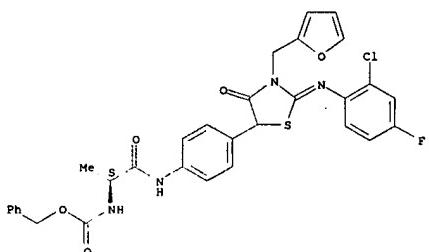
RN 657413-27-9 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-4-oxo-2-[(3-(trifluoromethoxy)phenyl)imino]-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



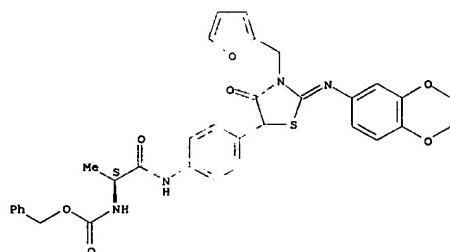
RN 657413-31-5 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(2-chloro-4-fluorophenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



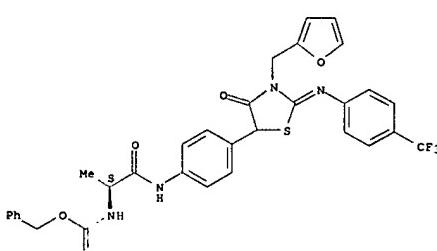
RN 657413-33-7 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[3-(2-furanyl methyl)-4-oxo-2-[(4-trifluoromethylphenyl)imino]-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



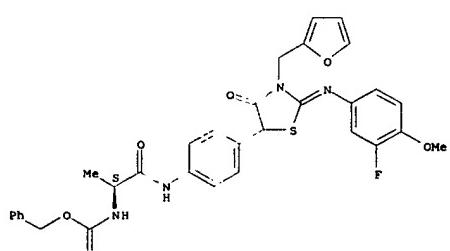
RN 657413-38-2 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(3-fluoro-4-methoxyphenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



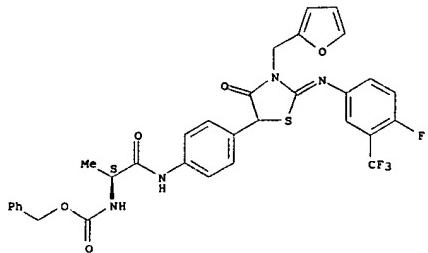
RN 657413-35-9 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(2,3-dihydro-1,4-benzodioxin-6-yl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



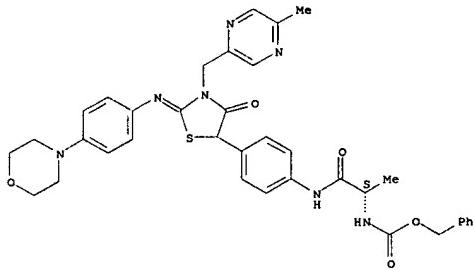
RN 657413-40-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(4-fluoro-3-(trifluoromethyl)phenyl)imino]-3-(2-furanyl methyl)-4-oxo-5-thiazolidinyl]phenyl)amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



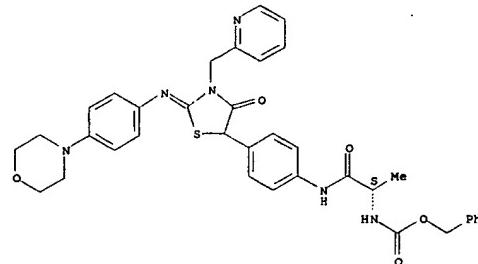
RN 657413-41-7 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[3-[(5-methylpyrazinyl)methyl]-2-[(4-morpholinyl)phenyl]imino]-4-oxo-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



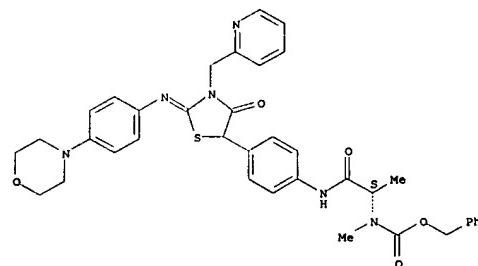
RN 657413-44-0 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



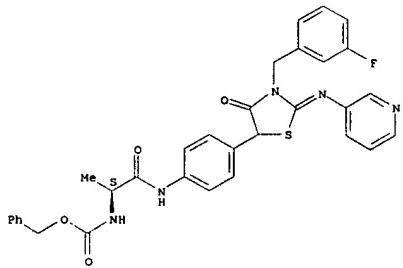
RN 657413-46-2 CAPLUS
 CN Carbamic acid, methyl[(1S)-1-methyl-2-[(4-[2-[(4-pyridinylmethyl)-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



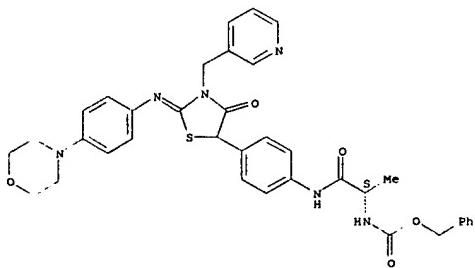
RN 657413-48-4 CAPLUS
 CN Carbamic acid, [(1S)-2-[(4-[3-(3-fluorophenyl)methyl]-4-oxo-2-(3-pyridinylmimo)-5-thiazolidinylphenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



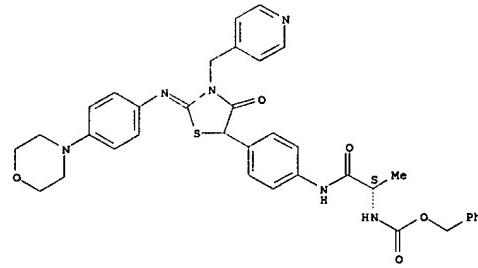
RN 657413-52-0 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(3-pyridinylmethyl)-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



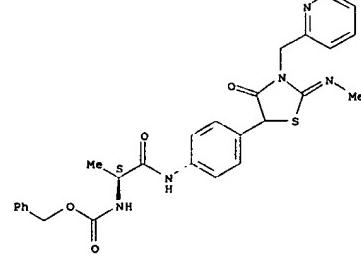
RN 657413-54-2 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(4-pyridinylmethyl)-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



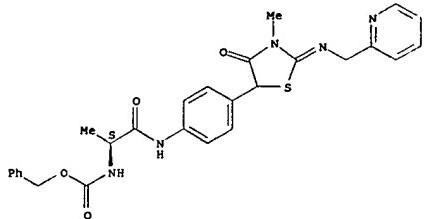
RN 657413-56-4 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-(methylimino)-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



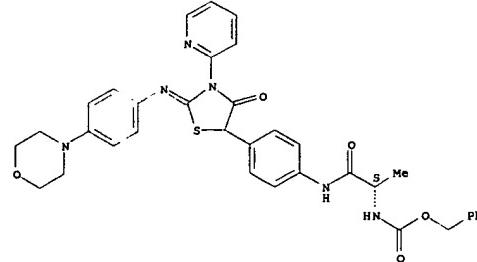
RN 657413-58-6 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(4-[3-methyl-4-oxo-2-(2-pyridinylmethyl)imino]-5-thiazolidinylphenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



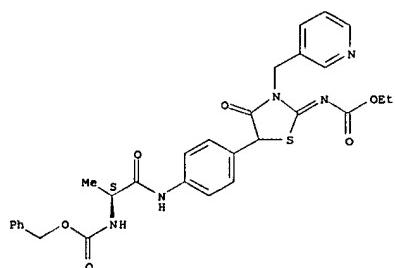
RN 657413-64-4 CAPLUS
CN Carbamic acid, [(1S)-2-[(4-[2-[(ethoxycarbonyl)imino]-4-oxo-3-(3-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



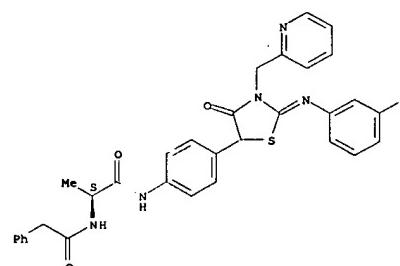
RN 657413-68-8 CAPLUS
CN Benzeneacetamide, N-[(1S)-2-[(4-[2-(3-fluorophenyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



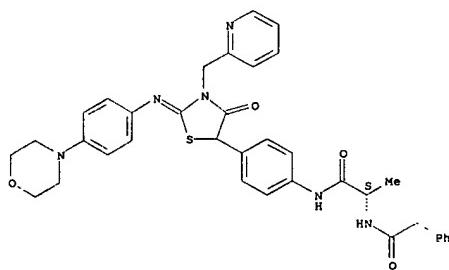
RN 657413-65-5 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



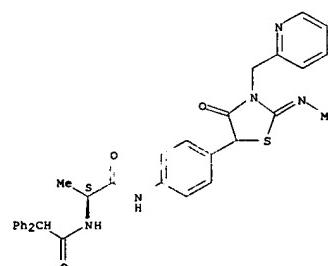
RN 657413-71-3 CAPLUS
CN Benzeneacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



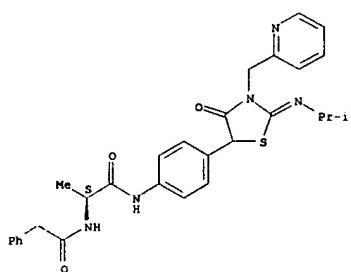
RN 657413-74-6 CAPLUS
CN Benzeneacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



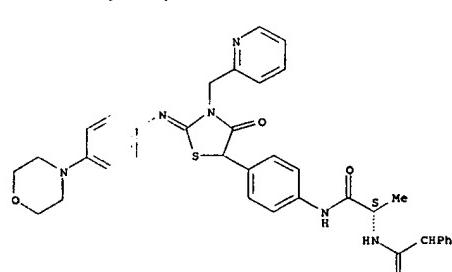
RN 657413-84-8 CAPLUS
CN Benzeneacetamide, N-[(1S)-1-methyl-2-[(4-[2-[(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]- α -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



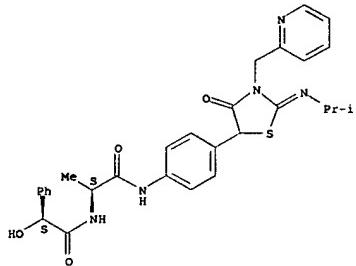
RN 657413-83-7 CAPLUS
CN Benzeneacetamide, N-[(1S)-1-methyl-2-[(4-[2-(methylimino)-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]- α -phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



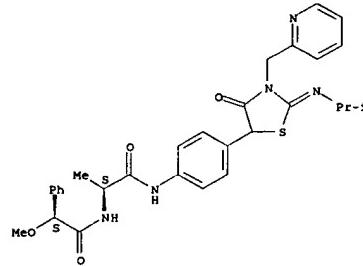
RN 657413-92-8 CAPLUS
CN Benzeneacetamide, α -hydroxy-N-[(1S)-1-methyl-2-[(4-[2-(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]amino]-2-oxoethyl]-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



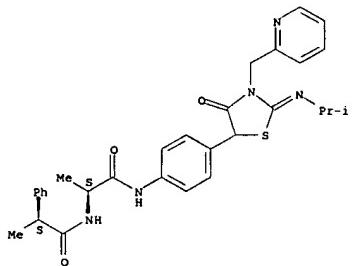
RN 657413-93-9 CAPLUS
CN Benzenacetamide, α -methyl-N-[(1S)-1-methyl-2-[(4-(2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinylphenyl]amino)-2-oxoethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



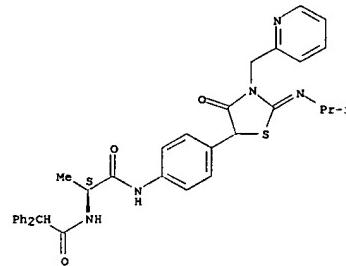
RN 657413-95-1 CAPLUS
CN Benzenacetamide, N-[(1S)-1-methyl-2-[(4-(2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinylphenyl]amino)-2-oxoethyl]- α -Phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



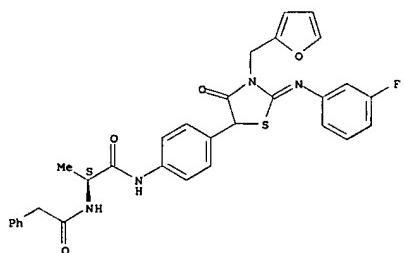
RN 657413-94-0 CAPLUS
CN Benzenacetamide, α -methoxy-N-[(1S)-1-methyl-2-[(4-(2-[(1-methylethyl)imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinylphenyl]amino)-2-oxoethyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



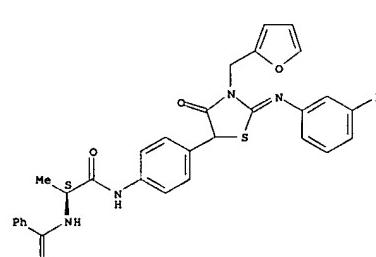
RN 657413-96-2 CAPLUS
CN Benzenacetamide, N-[(1S)-2-[(4-(2-(3-fluorophenyl)imino)-3-(2-furanylmethyl)-4-oxo-5-thiazolidinylphenyl]amino)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



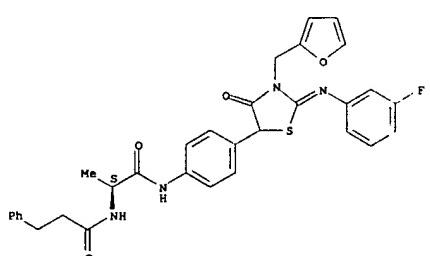
RN 657413-97-3 CAPLUS
CN Benzenepropanamide, N-[(1S)-2-[(4-(2-(3-fluorophenyl)imino)-3-(2-furanylmethyl)-4-oxo-5-thiazolidinylphenyl]amino)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



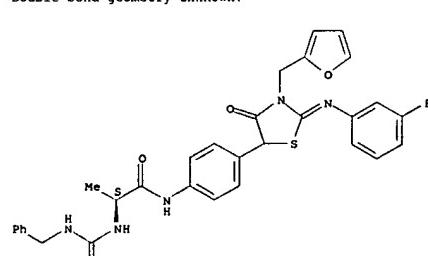
RN 657414-05-6 CAPLUS
CN Propanamide, N-[4-(2-(3-fluorophenyl)imino)-3-(2-furanylmethyl)-4-oxo-5-thiazolidinylphenyl]-2-[[[(phenylmethyl)amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



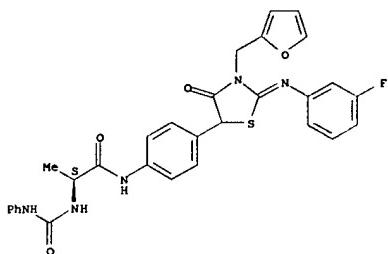
RN 657413-98-4 CAPLUS
CN Benzamide,
N-[(1S)-2-[(4-(2-(3-fluorophenyl)imino)-3-(2-furanylmethyl)-4-oxo-5-thiazolidinylphenyl]amino)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



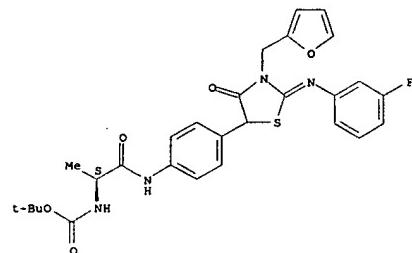
RN 657414-06-7 CAPLUS
CN Propanamide, N-[4-(2-(3-fluorophenyl)imino)-3-(2-furanylmethyl)-4-oxo-5-thiazolidinylphenyl]-2-[[[(phenylamino)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 657414-13-6 CAPLUS

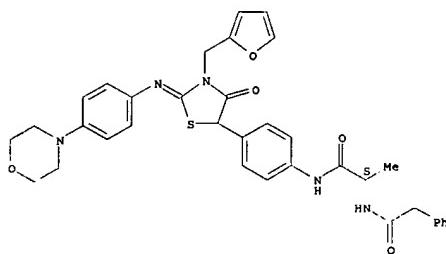
CN Benzenacetamide, N-[(1S)-2-[(4-[3-(2-furanyl)methyl]-2-[(4-(4-morpholinyl)phenyl]imino)-4-oxo-5-thiazolidinyl]phenyl]amino)-1-methyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

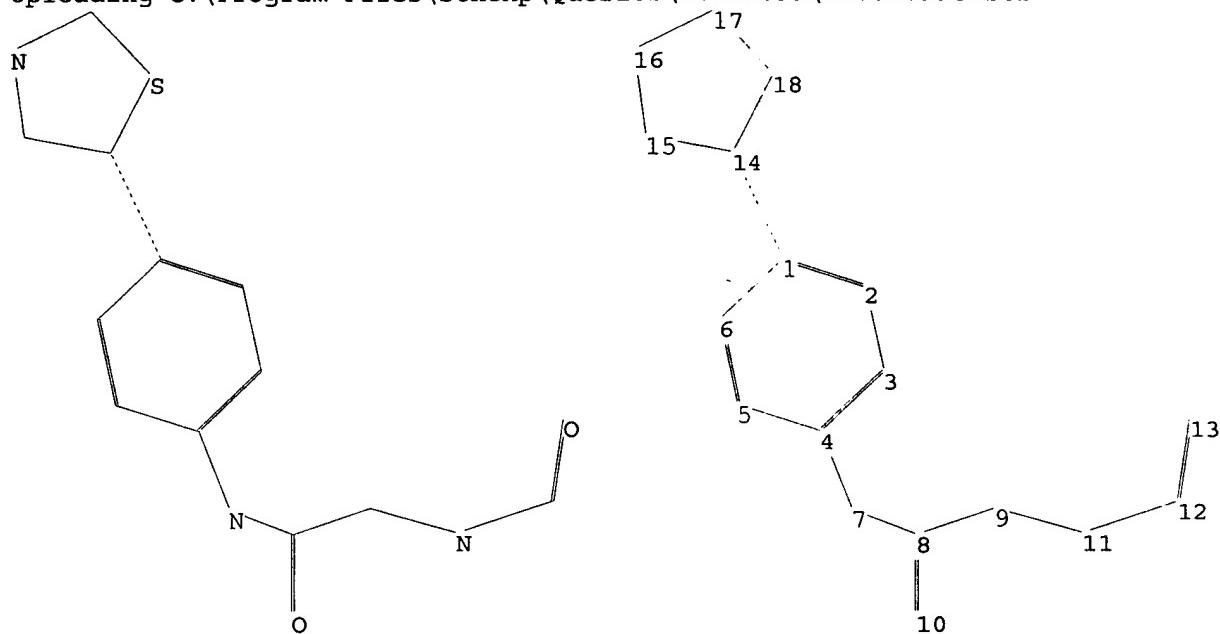
IT 657414-29-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of iminothiazolidinone amino acid derivs. as combination pharmaceutical agents for use as inhibitors of HCV replication)

RN 657414-29-4 CAPLUS

CN Carbamic acid,
(1S)-2-[(4-[2-[(3-fluorophenyl)imino]-3-(2-furanyl)methyl]-4-oxo-5-thiazolidinyl]phenyl]amino)-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

```
=>
Uploading C:\Program Files\Stnexp\Queries\10637099\10637099c.str
```



```
chain nodes :
```

```
7 8 9 10 11 12 13
```

```
ring nodes :
```

```
1 2 3 4 5 6 14 15 16 17 18
```

```
chain bonds :
```

```
1-14 4-7 7-8 8-9 8-10 9-11 11-12 12-13
```

```
ring bonds :
```

```
1-6 1-2 2-3 3-4 4-5 5-6 14-15 14-18 15-16 16-17 17-18
```

```
exact/norm bonds :
```

```
1-14 4-7 7-8 8-10 9-11 11-12 12-13 14-15 14-18 15-16 16-17 17-18
```

```
exact bonds :
```

```
8-9
```

```
normalized bonds :
```

```
1-6 1-2 2-3 3-4 4-5 5-6
```

```
Match level :
```

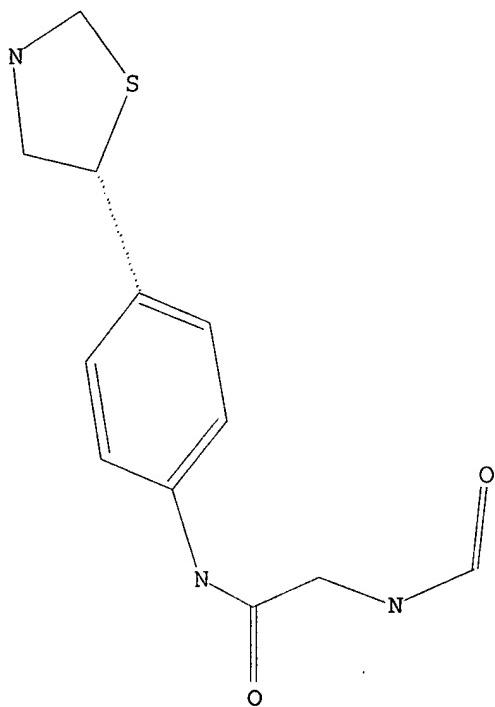
```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom
```

```
L5      STRUCTURE UPLOADED
```

```
=> d
```

```
L5 HAS NO ANSWERS
```

```
L5      STR
```



Structure attributes must be viewed using STN Express query preparation.

=> s 11
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:47:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

| | | |
|------------------------|--------|--------------|
| FULL FILE PROJECTIONS: | ONLINE | **COMPLETE** |
| | BATCH | **COMPLETE** |
| PROJECTED ITERATIONS: | 2 TO | 124 |
| PROJECTED ANSWERS: | 2 TO | 124 |

L6 2 SEA SSS SAM L1

L7 2 L6

=> S 15
REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:47:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 3 TO 163

L8 3 SEA SSS SAM L5

L9 2 L8

=> s 15 full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 13:59:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 568 TO ITERATE

100.0% PROCESSED 568 ITERATIONS 59 ANSWERS
SEARCH TIME: 00.00.01

L10 59 SEA SSS FUL L5

L11 2 L10

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 0.46 362.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -1.50

FILE 'CAPLUS' ENTERED AT 14:00:35 ON 27 JAN 2006
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FILE COVERS 1907 - 27 Jan 2006 VOL 144 ISS 6
FILE LAST UPDATED: 26 Jan 2006 (20060126/ED)

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<http://www.cas.org/infopolicy.html>

=> s l10
L12 2 L10

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 1.38 | 364.03 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.50 |

STN INTERNATIONAL LOGOFF AT 14:02:05 ON 27 JAN 2006

10/637,099

Search 2

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAYLC1626

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?):2

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 DEC 05 CASREACT(R) - Over 10 million reactions available
NEWS 4 DEC 14 2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 5 DEC 14 2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6 DEC 14 CA/CAplus to be enhanced with updated IPC codes
NEWS 7 DEC 21 IPC search and display fields enhanced in CA/CAplus with the
IPC reform
NEWS 8 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
NEWS 9 JAN 13 IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10 JAN 13 New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to
INPADOC
NEWS 11 JAN 17 Pre-1988 INPI data added to MARPAT
NEWS 12 JAN 17 IPC 8 in the WPI family of databases including WPIFV

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
<http://download.cas.org/express/v8.0-Discover/>

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 14:03:01 ON 27 JAN 2006

```
=> ile registry  
ILE IS NOT A RECOGNIZED COMMAND  
The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (>).
```

FULL ESTIMATED COST

ENTRY 0.21 SESSION 0.21

FILE 'REGISTRY' ENTERED AT 14:03:11 ON 27 JAN 2006
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 26 JAN 2006 HIGHEST RN 872781-89-0
DICTIONARY FILE UPDATES: 26 JAN 2006 HIGHEST RN 872781-89-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

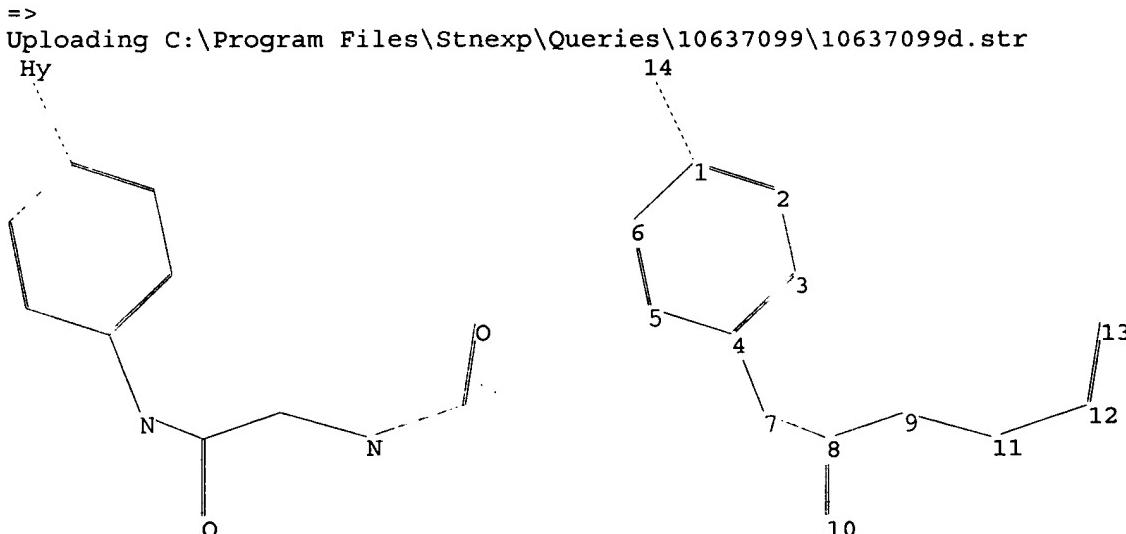
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10637099\10637099d.str
Hy


The diagram illustrates a complex chemical structure. On the left, a benzene ring is connected to a pyrazole ring. The pyrazole ring is substituted with a carbonyl group (C=O) and an amino group (NH2). A five-membered ring (labeled 1-5) is attached to the pyrazole ring. This five-membered ring is connected to a chain of nodes labeled 7 through 14. Node 14 is also connected to a separate chain of nodes labeled 10 through 13.

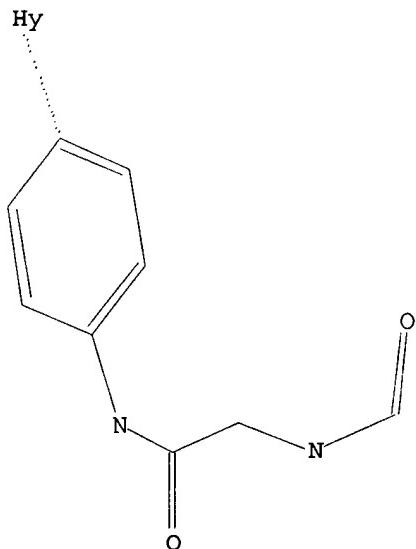
chain nodes :
7 8 9 10 11 12 13 14
ring nodes :
1 2 3 4 5 6

```
chain bonds :  
1-14 4-7 7-8 8-9 8-10 9-11 11-12 12-13  
ring bonds :  
1-6 1-2 2-3 3-4 4-5 5-6  
exact/norm bonds :  
1-14 4-7 7-8 8-10 9-11 11-12 12-13  
exact bonds :  
8-9  
normalized bonds :  
1-6 1-2 2-3 3-4 4-5 5-6
```

```
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:Atom
```

L1 STRUCTURE UPLOADED

```
=> d  
L1 HAS NO ANSWERS  
L1 STR
```



Structure attributes must be viewed using STN Express query preparation.

```
=> s 11  
SAMPLE SEARCH INITIATED 14:03:50 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 6561 TO ITERATE
```

```
30.5% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01
```

31 ANSWERS

```
FULL FILE PROJECTIONS: ONLINE **COMPLETE**  
BATCH **COMPLETE**  
PROJECTED ITERATIONS: 126364 TO 136076  
PROJECTED ANSWERS: 1428 TO 2638
```

L2 31 SEA SSS SAM L1

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

| | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|---------------|
| FULL ESTIMATED COST | 0.88 | 1.09 |

FILE 'CAPLUS' ENTERED AT 14:04:06 ON 27 JAN 2006
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FILE COVERS 1907 - 27 Jan 2006 VOL 144 ISS 6
FILE LAST UPDATED: 26 Jan 2006 (20060126/ED)

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=> s 12
L3 24 L2

=> d his

(FILE 'HOME' ENTERED AT 14:03:01 ON 27 JAN 2006)

FILE 'REGISTRY' ENTERED AT 14:03:11 ON 27 JAN 2006
L1 STRUCTURE uploaded
L2 31 S L1

FILE 'CAPLUS' ENTERED AT 14:04:06 ON 27 JAN 2006
L3 24 S L2

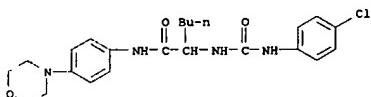
=> d ibib abs hitstr 10-24

L3 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:465965 CAPLUS
 DOCUMENT NUMBER: 137:47128
 TITLE: Preparation of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders and tumors.
 INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 92 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|------------------|----------|
| WO 2002048099 | A1 | 20020620 | WO 2001-EP13545 | 20011121 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10063008 | A1 | 20020620 | DE 2000-10063008 | 20001216 |
| CA 2431766 | AA | 20020620 | CA 2001-2431766 | 20011121 |
| AU 2002021881 | A5 | 20020624 | AU 2002-21881 | 20011121 |
| EP 1341755 | A1 | 20020620 | EP 2001-270524 | 20011121 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001016115 | A | 20031223 | BR 2001-16115 | 20011121 |
| JP 2004515538 | T2 | 20040527 | JP 2002-549632 | 20011121 |
| NO 200302695 | A | 20030613 | NO 2003-2695 | 20030613 |
| US 2004038858 | A1 | 20040226 | US 2003-450651 | 20030616 |
| ZA 2003005455 | A | 20040826 | ZA 2003-5455 | 20030715 |
| US 2005137230 | A1 | 20050623 | US 2005-59655 | 20050217 |
| PRIORITY APPLN. INFO.: | | DE 2000-10063008 | A | 20001216 |
| | | WO 2001-EP13545 | W | 20011121 |
| | | US 2003-450651 | A3 | 20030616 |

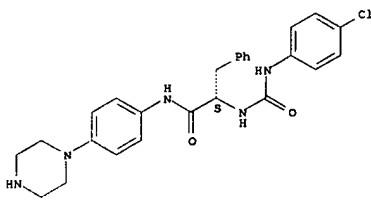
OTHER SOURCE(S): MARPAT 137:47128
 AB DNHCOCXRCONH(CH₂)_nEW [D = (substituted) Ph, pyridyl; R1 = H, Ar, Het, cycloalkyl, (substituted) A; R2 = H, A; E = (substituted) phenylene, piperidin-1,4-diy]; W = Ar, Het, NR2, R2, cycloalkyl; X = NH, O; A = (fluoro-substituted) O-, S-, or CH:CH-interrupted alkyl; Ar = (substituted) Ph; Het = (aromatic) (substituted) heterocyclyl; n = 0, 1], were prepared. Thus, Z-D-Phe-OH, 2'-methylsulfonylbiphenyl-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred 40 h in DMF to give benzyl [(R)-1-(2'-methylsulfonylbiphenyl-4-ylcarbamoyl)-2-phenylethyl]carbamate. This was hydrogenolyzed in MeOH over Pd/C and the

L3 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Product was stirred with 4-chlorophenyl isocyanate in CH₂Cl₂ to give (R)-2-[3-(4-chlorophenyl)ureido]-N-(2'-methylsulfonylbiphenyl-4-yl)-3-phenylpropionamide. The latter inhibited factor Xa with IC₅₀ = 8.6 + 10-8 M.
 IT 438054-06-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)
 RN 438054-08-1 CAPLUS
 CN Hexanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



IT 438055-90-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)
 RN 438055-90-4 CAPLUS
 CN Benzenepropanamide, α-[[[4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperazinyl)phenyl]-, hydrochloride, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



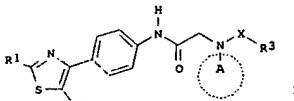
•x HCl

L3 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2002:368463 CAPLUS
 DOCUMENT NUMBER: 136:386109
 TITLE: Preparation of amide derivatives as antiherpes agents
 INVENTOR(S): Kontani, Toru; Miyata, Junji; Hamaguchi, Wataru; Miyazaki, Yoji; Suzuki, Hiroshi; Nakai, Eiichi; Kageyama, Shunji
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Rational Drug Design Laboratories
 SOURCE: PCT Int. Appl., 71 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|-----------------|------------|
| WO 2002038554 | A1 | 20020516 | WO 2001-JP9790 | 20011108 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| CA 2428184 | AA | 20020516 | CA 2001-2428184 | 20011108 |
| AU 2002012734 | A5 | 20020521 | AU 2002-12734 | 20011108 |
| EP 1340750 | A1 | 20030903 | EP 2001-981033 | 20011108 |
| EP 1340750 | B1 | 20050817 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| AT 302197 | E | 20050915 | AT 2001-981033 | 20011108 |
| US 2004034232 | A1 | 200404219 | US 2003-416371 | 20030512 |
| US 6949543 | B2 | 20050927 | | |
| PRIORITY APPLN. INFO.: | | | JP 2000-344354 | A 20001110 |
| | | | WO 2001-JP9790 | W 20011108 |

OTHER SOURCE(S): MARPAT 136:386109
 GI



AB The title compds. I [R₁, R₂ = H, alkyl, etc.; ring A = (un)substituted aryl, etc.; X = CO, SO₂; R₃ = (un)substituted cycloalkyl, etc.] are prepared. These amide derivs. are useful as drugs and antiviral agents, in particular, preventives or remedies for various diseases caused by the

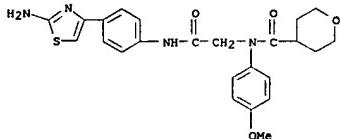
L3 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
infection with herpesviruses, more specifically, various herpesvirus infections such as pox (blister) caused by the infection with varicella zoster virus, herpes zoster caused by the recurrent infection with latent varicella zoster virus, herpes labialis and herpes encephalitis caused by the infection with HSV-1 and genital herpes caused by the infection with HSV-2. N-((14-(2-Aminothiazol-4-yl)phenyl)carbamoyl)methyl)-4-fluoro-N-(2,3-dihydro-1H-indol-6-yl)benzamide dihydrochloride showed EC50 value of 0.046 μ M against varicella zoster virus, vs. EC50 value of 4.3 μ M shown by acyclovir.

IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amide derivs. as antiherpes agents)

RN 425688-37-5 CAPLUS

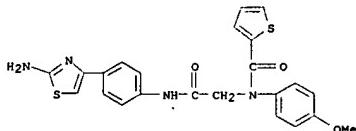
CN 2H-Pyran-4-carboxamide, N-(2-((4-(2-amino-4-thiazolyl)phenyl)amino)-2-oxoethyl)tetrahydro-N-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 425688-11-8 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-((4-(2-amino-4-thiazolyl)phenyl)amino)-2-oxoethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

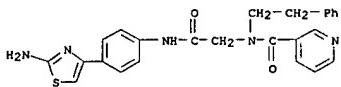


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
herpes virus replication and treat herpes infection)

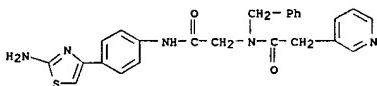
RN 193346-89-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(2-((4-(2-amino-4-thiazolyl)phenyl)amino)-2-oxoethyl)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



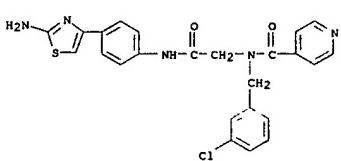
RN 193347-45-4 CAPLUS

CN 3-Pyridineacetamide, N-[2-((4-(2-amino-4-thiazolyl)phenyl)amino)-2-oxoethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 359713-63-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-((4-(2-amino-4-thiazolyl)phenyl)amino)-2-oxoethyl]-N-[(3-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:668346 CAPLUS

DOCUMENT NUMBER: 135:226989

TITLE: Synthesis of thiazolyl-phenyl-amide derivatives used to inhibit herpes virus replication and treat herpes infection

INVENTOR(S): Crute, J. James; Faucher, Anne-marie; Grayon, Christine; Hargrave, Karl D.; Simoneau, Bruno;

PATENT ASSIGNEE(S): Boehringer Ingelheim Ltd., Can.: Boehringer Ingelheim Pharma KG

SOURCE: U.S., 61 pp., Cont.-in-part of U.S. Ser. No. 759,201.

DOCUMENT TYPE: CODEN: SXXXAM

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------------|-----------------|-----------|
| US 6288091 | B1 | 20010911 | US 1999-364446 | 19990730 |
| CN 1207094 | A | 19990203 | CN 1996-199443 | 19961204 |
| US 6057451 | A | 20000502 | US 1996-759201 | 19961204 |
| ZA 9610850 | A | 19970630 | ZA 1996-10850 | 19961223 |
| US 6348477 | B1 | 20020219 | US 1999-456857 | 19991208 |
| US 6458959 | B1 | 20021001 | US 2000-685686 | 200001010 |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1995-9423P | P | 19951229 |
| | | US 1996-23209P | P | 19960802 |
| | | US 1996-759201 | A | 19961204 |
| | | US 1999-456857 | A3 | 19991208 |

OTHER SOURCE(S): MARPAT 135:226989
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = H, alkyl(amino), amino, alkanoylamino, etc.; Z = NR2-C(O)-Q-CH(R3)-NR4R5; R2 = H, alkyl; Q = bond, CH2; R3 = H, ((substituted)phenyl)alkyl; R4 = H, ((substituted)phenyl)alkyl, indanyl, cycloalkyl-alkyl; R5 = (Het)-(Y)-(alkyl)-C(O); Het = pyridinyl; Y = O, S] were prepared Over 200 synthetic examples were disclosed. For instance, Boc-glycine was N-benzylated (NaH, PhCH2Br, THF, reflux, 16 h) and the product converted to II (i-BuOCOCl, Et3N, DCM, 4'-aminocacetophenone, room temperature, 16 h.). Amide II was converted to example compound III (n = 0, P =

Boc, E = CH2Ph) (I2, thiourea, IPA, reflux, 2.5 h.). III (n = 0, P = CH2Ph, E = C(O)Ph) had IC50 = 0.072 μ M for HSV-1 and EC50 = 0.007 μ M for human cytomegalovirus. I are used for treating herpes infection by inhibiting the herpes helicase-primease complex.

IT 193346-89-3P 193347-45-4P 359713-63-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug; synthesis of thiazolyl-phenyl-amide derivs. used to inhibit

L3 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:441778 CAPLUS

DOCUMENT NUMBER: 133:75333

TITLE: Fluorescent dyes for solid phase and solution phase screening

INVENTOR(S): Auer, Manfred; Gstach, Hubert

PATENT ASSIGNEE(S): Novartis A.G., Switz.: Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 76 pp.

DOCUMENT TYPE: Patent

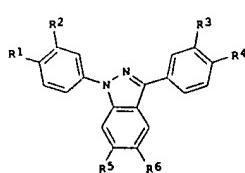
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2000037448 | A1 | 20000629 | WO 1999-EP10126 | 19991220 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TN, RW: GH, GM, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6207831 | B1 | 20010327 | US 1998-217795 | 19981221 |
| CA 2356344 | AA | 20000629 | CA 1999-2356344 | 19991220 |
| EP 1140856 | A1 | 20011010 | EP 1999-964612 | 19991220 |
| EP 1140856 | B1 | 20050427 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002533229 | T2 | 20021008 | JP 2000-589520 | 19991220 |
| AT 294164 | E | 20050515 | AT 1999-964612 | 19991220 |
| US 2001005752 | A1 | 20010628 | US 2001-754958 | 20010105 |
| US 2005227299 | A1 | 20051013 | US 2005-139753 | 20050527 |
| PRIORITY APPLN. INFO.: | | | US 1998-217795 | A 19981221 |
| | | | WO 1999-EP10126 | W 19991220 |
| | | | US 2001-754958 | A1 20010105 |

OTHER SOURCE(S): MARPAT 133:75333
GI



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The fluorescent dyes suitable for various methods of solid phase and solution phase organic chemical for synthesis of mol., useful inn fluorescence based processes for the identification of inhibitors of mol. interactions and for the identification of mol. which bind to target macromols. like peptides proteins, nucleic acids, carbohydrates etc., has a structure I wherein one of R2 and R2 and one of R3 and R4 is hydrogen and another is -COOH, -CONH2, -CONR8R9, NR1OR11, etc., one of R5 and R6 is hydrogen and another is hydrogen, halogen, NO2, NR1OR11, NHCOR12, etc.

R7 is carboxyl protecting or carboxyl activating group, R8 or R9 is hydrogen and another is Cl-C4 alkyl, Ph, benzyl, etc., R10 and R11 are independently hydrogen, Cl-C4 alkyl, or amino protecting group. R12 is ((substituted) Cl-C10) alkyl or Ph. Thus benzophenone was reacted with 4-Hydrazino-benzoic acid in methanol for 50 h, then with lead tetraacetate

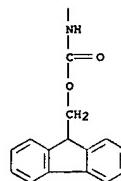
for 30-60 min., followed by treatment with boron-trifluoride etherate to give (3-phenyl-1H-indazol-1-yl)benzoic acid, which showing λ_{max} absorption 328 nm $\epsilon=22569$ M⁻¹cm⁻¹, λ_{max} (emission) 396 nm, and λ_{max} (excitation) 328 nm.

IT 279249-50-2
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(fluorescent dyes for solid phase and solution phase screening)

RN 279249-50-2 CAPLUS

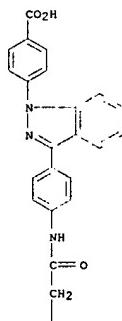
CN Benzoic acid,

4-[3-[4-[[[9H-fluoren-9-ylmethoxy]carbonyl]amino]acetyl]amino]phenyl-1H-indazol-1-yl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT:
FORMAT

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE



L3 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ACCESSION NUMBER: 2000:351518 CAPLUS
DOCUMENT NUMBER: 133:4650
TITLE: Preparation of heteroaryl-substituted aromatic compounds as antiherpes compounds
INVENTOR(S): Simoneau, Bruno; Crute, James J.; Faucher, Anne-Marie;
Anne-Marie;
PATENT ASSIGNEE(S): Grygon, Christine A.; Hargrave, Karl D.; Thavonekham, Bounkham
Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-----------------|-----------------|------------|
| WO 2000029399 | A1 | 20000525 | WO 1999-CI1066 | 19991109 |
| W: CA, JP, MX, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| PRIORITY APPLN. INFO.: | | US 1998-108272P | | P 19981112 |

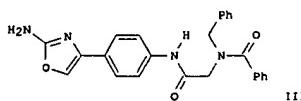
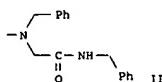
OTHER SOURCE(S): MARPAT 133:4650
GI

L3 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BIOL (Biological study); SPN (Synthetic preparation); THU (Therapeutic use);
(prep. of heteroaryl-substituted arom. compds. as antiherpes compds.)
RN 270565-94-1 CAPLUS
CN 3-Pyridinecarboxamide,
N-((1S)-2-[(4-(2-amino-4-thiazolyl)phenyl]amino)-1-(cyclohexylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

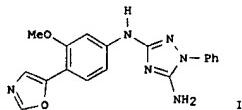


AB The title compds. X-Aryl-Y-Z [I: X = 5-6 membered aromatic heterocycle; Aryl = (un)substituted Ph, pyridyl; Y is absent or a bridging group, for example NHCO2t-Bu or II], which inhibit the herpes helicase-primate enzyme, rendering the compds. useful as antiviral agents, were prepared. E.g., a multi-step synthesis of benzamide III was presented. Biol. data (IC50 and/or EC50 against HSV-1 and HCMV) for compds. I were given.
IT 270565-94-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L3 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:314540 CAPLUS
 DOCUMENT NUMBER: 132:334477
 TITLE: Preparation of compounds derived from an amine nucleus
 as inhibitors of IMPDH enzyme
 INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts, William John
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 191 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000025780 | A1 | 20000511 | WO 1999-US24825 | 19991022 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2348234 | AA | 20000511 | CA 1999-2348234 | 19991022 |
| EP 1126843 | A1 | 20010829 | EP 1999-955142 | 19991022 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AU 764479 | B2 | 20030821 | AU 2000-11315 | 19991022 |
| PRIORITY APPLN. INFO.: | | | US 1998-106186P | P 19981029 |
| | | | WO 1999-US24825 | W 19991022 |

OTHER SOURCE(S): MARPAT 132:334477
 GI



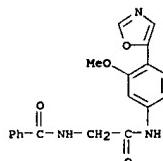
AB The title compds. XN(R)BD [I; X = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; R = H, alkyl; B = (un)substituted monocyclic or bicyclic ring system optionally containing up to 4 heteroatoms selected from N, O, and S; D = (un)substituted monocyclic or bicyclic ring system optionally containing up to

L3 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2000:98525 CAPLUS
 DOCUMENT NUMBER: 132:137396
 TITLE: Phenylazole compounds, process for producing the same and drugs for hyperlipemia
 INVENTOR(S): Umeda, Nobuhiro; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayoshi; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
 PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 92 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 200006550 | A1 | 20000210 | WO 1999-JP4070 | 19990729 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2339123 | AA | 20000210 | CA 1999-2339123 | 19990729 |
| AU 9949297 | A1 | 20000221 | AU 1999-49297 | 19990729 |
| AU 753360 | B2 | 20021017 | | |
| EP 1101759 | A1 | 20010523 | EP 1999-933152 | 19990729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1131217 | B | 20031217 | CN 1999-890919 | 19990729 |
| JP 200209280 | A2 | 20001017 | JP 1999-216581 | 19990730 |
| JP 2002081656 | A2 | 20001010 | JP 1999-221789 | 19990804 |
| JP 2002081658 | A2 | 20001010 | JP 1999-221790 | 19990804 |
| US 6342516 | B1 | 20020129 | US 2001-744786 | 20010126 |
| PRIORITY APPLN. INFO.: | | | JP 1998-218316 | A 19980731 |
| | | | JP 1998-222157 | A 19980805 |
| | | | JP 1999-16846 | A 19990126 |
| | | | JP 1999-19670 | A 19990128 |
| | | | JP 1999-24318 | A 19990201 |
| | | | WO 1999-JP4070 | W 19990729 |

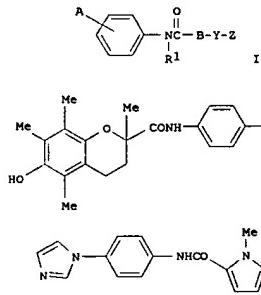
OTHER SOURCE(S): MARPAT 132:137396
 GI

L3 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 4 heteroatoms selected from N, O, and S, useful in treating or preventing
 IMPDH (inosine-5'-monophosphate dehydrogenase) mediated diseases, such as transplant rejection and autoimmune diseases, were prep'd. E.g., a multi-step synthesis of triazole II was given. Compds. I are effective at 0.1-500 mg/kg/day.
 IT 267647-88-1
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of compds. derived from an amine nucleus as inhibitors of IMPDH enzyme)
 RN 267647-88-1 CAPLUS
 CN Benzamide, N-[2-((3-methoxy-4-(5-oxazolyl)phenyl)amino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

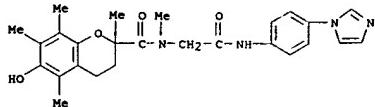


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Phenylpyrazole and phenylimidazole compds. represented by general formula I; wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted (CH₂)_k or (CH:CH); Y = bond, O, S, SO₂, CO, CH₂, Cl-5 alkyl-(un)substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted benzooquinolinyl or naphthoquinonyl or pharmaceutically acceptable salts thereof are prepared. Claimed are drugs for hyperlipemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4,0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.72 g, and 2.5 mL Et₃N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared.
 IT 256660-58-99
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)
 RN 256660-58-9 CAPLUS
 CN 2H-1-Benzopyran-2-carboxamide,
 3,4-dihydro-6-hydroxy-N-[2-[(4-(1H-imidazol-1-yl)amino)-2-oxoethyl]-N-2,5,7,8-pentamethyl- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1999:549264 CAPLUS

DOCUMENT NUMBER: 131:184944

TITLE: Preparation of phenyl and aryl-fused thiazole derivatives as antiviral agents for suppression and treatment of herpes family viral infections and sexually-transmitted viral diseases

INVENTOR(S): Flygare, John A.; Jaen, Juan C.; Kearney, Patrick C.; Medina, Julio C.; Sivaraja, Mohanram

PATENT ASSIGNEE(S): Tularik Inc., USA

SOURCE: PCT Int. Appl., 70 pp.

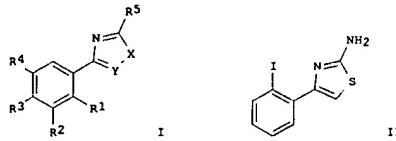
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9942455 | A1 | 19990826 | WO 1999-US2947 | 19990210 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| TM: RW: GH, GM, KE, LS, MW, SD, SZ, UC, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9932892 | A1 | 19990906 | AU 1999-32892 | 19990210 |
| PRIORITY APPLN. INFO.: US 1998-75224P | | | P 19980219 | |
| | | | WO 1999-US2947 | W 19990210 |

OTHER SOURCE(S): MARPAT 131:184944
GI

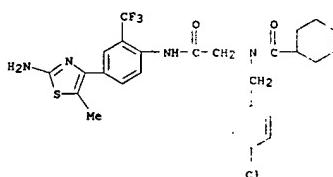
AB Ph and aryl-fused thiazole derivs. (I) [where X = S, O, NH, or N-lower alkyl; Y = (un)substituted CH or N; or XY = triat. divalent unit of CH, C-alkyl, and N (3 subunits may not all be N); R1 = H, lower alkyl, or taken together with Y forms a 5- or 6-membered ring; R2, R3, and R4 = independently H, (hetero)alkyl, (heteroaryl)alkyl, halogen, CN, NO2, (aryl)alkoxy, (un)substituted sulfamoyl, (un)substituted amino, OH, etc.; R5 = H, lower (aryl)alkyl, aryl, (un)substituted amino; with provisos] were prepared as antiviral agents useful in the suppression and treatment of sexually-transmitted viral diseases and herpes family viral infections,

L3 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
esp. HSV1, HSV2, Epstein Barr virus, and varicella zoster virus. Thus, 2-iodophenacyl bromide was added to thiourea in dioxane and stirred at room temp. for eight hours to yield 2-amino-4-(2-iodophenyl)thiazole

(II). Nine compds. of the invention were tested for antiviral activity using an HSV-1 gel primase assay and exhibited IC50 values ranging from 5 μ M to 100 nM.

IT 240136-53-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of Ph and aryl-fused thiazole derivs. as antiviral agents for herpes family viral infections and sexually-transmitted viral diseases)

RN 240136-53-2 CAPLUS
CN Cyclohexanecarboxamide, N-[2-[(2-amino-5-methyl-4-thiazolyl)-2-(trifluoromethyl)phenyl]amino]-2-oxoethyl]-N-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1997:543457 CAPLUS

DOCUMENT NUMBER: 127:149142

TITLE: Preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim (Canada) Ltd.

SOURCE: PCT Int. Appl., 336 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9724343 | A1 | 19970710 | WO 1996-US19131 | 19961204 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HI, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UC, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, KS, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9716828 | A1 | 19970728 | AU 1997-16828 | 19961204 |
| EP 871619 | A1 | 19980121 | EP 1996-945567 | 19961204 |
| EP 871619 | B1 | 20021106 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1207094 | A | 19990203 | CN 1996-199443 | 19961204 |
| BR 9612435 | A | 19990713 | BR 1996-12435 | 19961204 |
| JP 2000502702 | T2 | 20000307 | JP 1997-524235 | 19961204 |
| NZ 331104 | A | 20000327 | NZ 1996-331104 | 19961204 |
| AT 227279 | E | 20021115 | AT 1996-945567 | 19961204 |
| ES 2196811 | T3 | 20030516 | ES 1996-945567 | 19961204 |
| CA 2192433 | AA | 19970630 | CA 1996-2192433 | 19961209 |
| ZA 9610850 | A | 19970630 | ZA 1996-10850 | 19961223 |
| NO 9802950 | A | 19980625 | NO 1998-2950 | 19980625 |
| US 6458959 | B1 | 20021001 | US 2000-685686 | 20001010 |
| PRIORITY APPLN. INFO.: US 1995-9433P | | | | P 19951229 |
| | | | US 1996-23209P | P 19960802 |
| | | | US 1996-759201 | A3 19961204 |
| | | | WO 1996-US19131 | W 19961204 |
| | | | US 1999-456857 | A3 19991208 |

OTHER SOURCE(S): MARPAT 127:149142

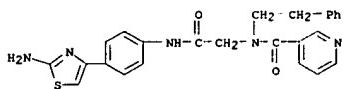
AB 4-R6H4R1 (I; R = (un)substituted 4-thiazolyl; R1 = NR2CO2CHR3NR4R5, NR2aCO2ZNR3aR4a, etc.; R2, R2a = H or alkyl; R3 = H, alkyl, (un)substituted phenyl(alkyl), R3a = H, (cyano)alkyl, CH2CH2OH, phenyl(alkyl), etc.; R4 = H, alkyl, phenylalkyl, heterocyclyl, etc.; R4a = alkyl, phenyl(alkyl), etc.; R3R4 = atoms to form a ring; NR3aR4a = heterocyclyl; R5 = H, alkyl, phenyl(alkyl), heterocyclyl, etc.; Z1 = bond or CH2; Z2 = bond or CO)

were prepared for treating herpes infections by inhibiting the herpes helicase-prime enzyme complex. Thus, Me3CO2CNHCH2CO2H was N-alkylated

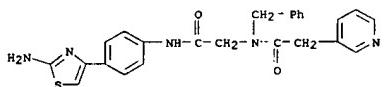
L3 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
by PhCH₂Br and the product amidated by 4-(H₂N)C₆H₄CO₂Me to give, after cyclocondensation with H₂NCSNH₂ and deprotection, I (R = 2-amino-4-thiazolyl, R₁ = NHCOCH₂NHCH₂Ph). Data for biol. activity of I were given.

IT 193346-89-3P 193347-45-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-(aminothiazolyl)acetanilides and analogs as antiherpes agents)

RN 193346-89-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[(4-(2-amino-4-thiazolyl)phenyl)amino]-2-oxoethyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 193347-45-4 CAPLUS
CN 3-Pyridineacetamide, N-[2-[(4-(2-amino-4-thiazolyl)phenyl)amino]-2-oxoethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
ACCESSION NUMBER: 1994-409957 CAPLUS
DOCUMENT NUMBER: 121:9957
TITLE: Energy transfer in β -turned peptide-bridged porphyrin dimers
AUTHOR(S): Tamaki, Hitoshi; Nomura, Kimiatsu; Maruyama, Kazuhiko
CORPORATE SOURCE: Fac. Sci. Eng., Ritsumeikan Univ., Kyoto, 603-77, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1993), 66(10), 3062-8
CODEN: BCSJAB; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English

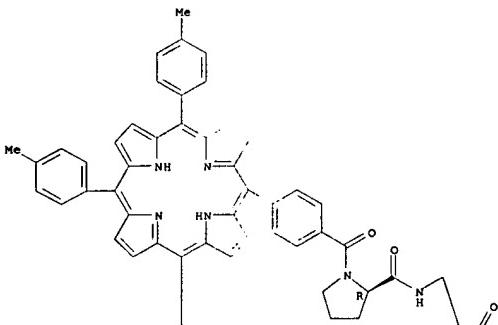
AB β -Turned peptide-bridged porphyrinyl compds. were prepared. Each of the isomeric mono-zinc complexes were easily available, metal-free porphyrin-peptide-Zn porphyrin and Zn porphyrin-peptide-metal-free porphyrin. In the isomers, the intramol. energy transfer efficiencies from Zn porphyrin moiety to metal-free porphyrin moiety were the same from anal. of the steady-state fluorescence spectra, indicating that the efficiencies should be independent upon the linked peptide spacer and dependent upon the distance between the porphyrin moieties in the mol. Singlet energy might migrate intramolecularly from the Zn porphyrin moiety to the metal-free one mainly by through-space mechanism.

IT 155279-49-5P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, complexation of, with zinc and absorption spectra of)
RN 155279-49-5 CAPLUS
CN Glycinamide, 1-(4-{10,15,20-tris(4-methylphenyl)-21H,23H-porphin-5-yl}benzoyl)-D-prolyl-N-[4-(10,15,20-tris(4-methylphenyl)-21H,23H-porphin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

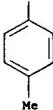
PAGE 1-A



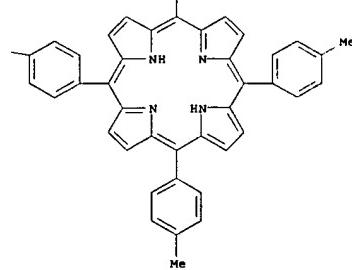
PAGE 1-B

L3 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



PAGE 2-B

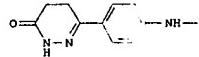
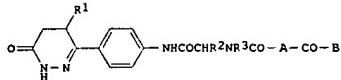


L3 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1991:536786 CAPLUS
 DOCUMENT NUMBER: 115:136786
 TITLE: Preparation of peptide p-pyridazinylanilides as cardiovascular agents.
 INVENTOR(S): Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie
 PATENT ASSIGNEE(S): Laboratoires UPSA S. A., Fr.
 SOURCE: Fr. Demande, 73 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| FR 2646853 | A1 | 19901116 | FR 1989-6066 | 19890509 |
| | | | FR 1989-6066 | 19890509 |

PRIORITY APPLN. INFO.: MARPAT 115:136786

GI



AB The title compds. I (R1 = H, alkyl; R2 = H, alkyl, aralkyl, halo, OH, etc.; R3 = H, alkyl; or R2R3 = CH2(XH2)CH2; n = 1-4; A = pyrrolidinediyl, etc.; B = CHR4X; R4 = H, alkyl, amino; X = CH2SH, CH2SAC, etc.) and their pharmaceutically acceptable salts, useful as cardiotonics, vasodilators, blood platelet aggregation inhibitors, and angiotensin converting enzyme inhibitors, were prepared. Amidation of Z-Pro-Phe-OH (Z = PhCH2OC) with pyridazinylaniline OH (preparation given), the resulting dipeptide amide Z-Pro-Phe-Q deprotected, and then condensed with AcSCH2CHMeCO in CH2Cl2 containing Et3N to give the title compound AcSCH2CHMeCO-Pro-Phe-Q (II).

In an in vitro experiment using guinea pig heart, II at 7.9 + 10-6 M effected 50% of the maximum inotropic augmentation.

IT 135809-04-OP

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as cardiovascular agent)

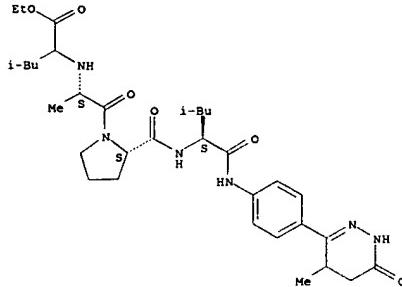
RN 135809-04-0 CAPLUS

CN L-Leucinamide,

N-[1-(ethoxycarbonyl)-3-methylbutyl]-L-alanyl-L-prolyl-N-[4-(1,4,5,6-tetrahydro-4-methyl-6-oxo-3-pyridazinyl)phenyl]-(9CI) (CA INDEX)

L3 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 NAME)

Absolute stereochemistry.

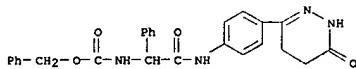


IT 135809-27-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for peptides as cardiovascular agents)

RN 135809-27-7 CAPLUS

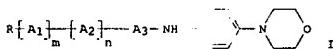
CN Carbamic acid, [2-oxo-1-phenyl-2-[(4-(1,4,5,6-tetrahydro-6-oxo-3-pyridazinyl)phenyl)amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1987:632187 CAPLUS
 DOCUMENT NUMBER: 107:232187
 TITLE: Peptide derivatives for enzyme activity measurement
 INVENTOR(S): Sasaki, Michiro; Ishijima, Cheko; Irie, Yasuo; Yasuda, Naochiko; Nishiyama, Kimiko; Matoba, Katsumoto; Watanabe, Junzo
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan; Kokusai Shiyaku K. K.
 SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| JP 62122599 | A2 | 19870603 | JP 1986-152093 | 19860628 |
| JP 07055942 | B4 | 19950614 | | |
| PRIORITY APPLN. INFO.: | | | JP 1985-167129 | A1 19850729 |

GI



AB Peptides I (R = H, amino protecting group; A1 = phenylalanyl, leucyl, isoleucyl, etc.; A2 = phenylalanyl, valyl, prolyl, etc.; A3 = arginyl, lysyl; m, n = 0, 1) are substrates for enzyme activity determination A sample

containing thrombin was treated with a reagent containing H-D-Phe-Pro-Arg-MA (MA = 4-morpholinonaniline) at 37° for 5 min, followed by treatment with a reagent containing metaperiodic acid and N-ethyl-N-sulfopropylaniline at room temperature for 10 min and spectrometric anal. at 735 nm for the determination of thrombin.

IT 111544-55-9P

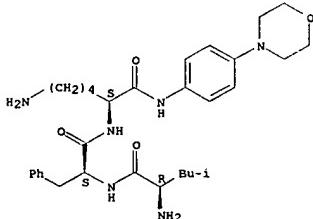
RL: PREP (Preparation) (preparation of, as substrate, for thrombin and other enzyme determination, morpholinonaniline release and determination in relation to)

RN 111544-55-9 CAPLUS

CN L-Lysinamide, D-leucyl-L-phenylalanyl-N-[4-(4-morpholinyl)phenyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

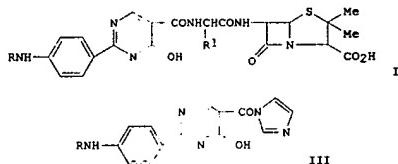
L3 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 NAME)



● 3 HCl

L3 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1982:142879 CAPLUS
 DOCUMENT NUMBER: 96:142879
 TITLE: Antibacterial amide compounds
 INVENTOR(S): Haskell, Theodore H.; Hutt, Marland P., Jr.; Niclaides, Ernest D.
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 19,984, abandoned.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 US 4267180 A 19810512 US 1980-117318 19800131
 PRIORITY APPLN. INFO.: US 1979-19984 A2 19790312

OTHER SOURCE(S): CASREACT 96:142879
 GI



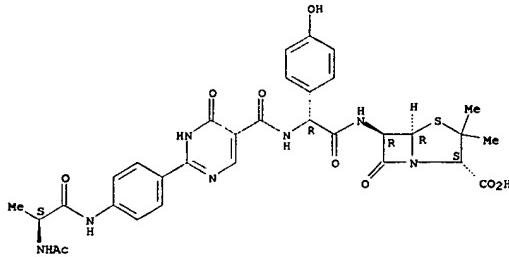
AB Amoxicillins I (R = N-acetylglucyl, N-acylalanyl, N-acylisobutyryl, N-acetylprolyl, N-acymethionyl, N-acylvalyl, N-acyleucyl, N-acylglutamyl, N-acetyltyrosyl; R1 = Ph, 4-HOC6H4, 2-thienyl, 1,4-cyclohexadienyl), useful as bactericides, were prepared by treating amoxicillin (II) with imidazolidine III. Thus, treating II Me2SO complex in DMF with III (R = N-acetylglucyl) in the presence of Et3N 2.5 h at room temperature gave I (R = N-acetylglucyl, R1 = 4-HOC6H4), isolated as the Na salt.

IT 79896-65-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 79896-65-4 CAPLUS
 CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[[2-[4-([2-(acetylaminooxy)-1-oxopropyl]amino)phenyl]-1,4-dihydro-4-oxo-5-pyrimidinyl]carbonyl]amino]([4-hydroxophenyl]acetyl)amino]-3,3-dimethyl-7-oxo-, [2S-(2a,5a,6B(S*(R*))]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

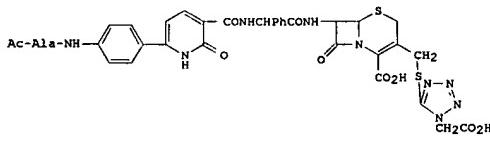
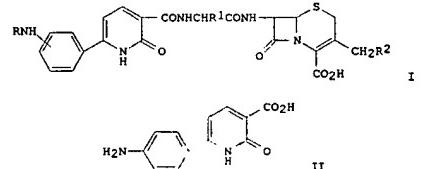
L3 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 1981:550681 CAPLUS
 DOCUMENT NUMBER: 55:150681
 TITLE: N-(6-((Acylaminoacylamino or aminoacylamino)phenyl)-1,2-dihydro-2-oxonicotinyl) cephalosporin compounds and compositions containing them
 INVENTOR(S): Haskell, Theodore Herbert; Schweiss, Dietrich; Mich, Thomas; Frederick; Culbertson, Townley Payne
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA
 SOURCE: Eur. Pat. Appl., 86 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 EP 15771 A1 19800917 EP 1980-300736 19800311
 EP 15771 B1 19840606
 R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
 US 4311698 A 19820119 US 1980-112655 19800311
 DK 8001037 A 19800913 DK 1980-1037 19800311
 AU 8056341 A1 19800918 AU 1980-56341 19800311
 AU 530301 B2 19830707
 JP 55147292 A2 19801117 JP 1980-31478 19800311
 ZA 8001423 A 19810325 ZA 1980-1423 19800311
 ES 489400 A1 19810416 ES 1980-489400 19800311
 CA 1147324 A1 19830531 CA 1980-347438 19800311
 AT 7789 E 19840615 AT 1980-300736 19800311
 ES 497149 A1 19811101 ES 1980-497149 19801126
 PRIORITY APPLN. INFO.: US 1979-19983 A 19790312
 US 1980-112655 A 19800131
 EP 1980-300736 A 19800311

GI

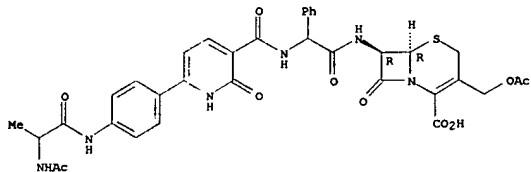
L3 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB Cephalosporins I (R = amino acid or peptide residue; R1 = Ph, 4-HOC6H4, 2-thienyl, 1,4-cyclohexadienyl; R2 = OAc, OC2H5, heterocyclithio) were prepared. Thus 4-AcNHCO6H4COMe was treated with HCO2Et and NCCH2CONH2 and hydrolyzed to give II which was treated with Ac-Ala-OH, converted to the imidazolidine, and used to acylate the appropriate aminocephem to give III. III had a min. inhibitory concentration against Escherichia coli of 0.4 μ g/ml.

IT 77004-11-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and bactericidal activity of)
 RN 77004-11-6 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[[6-([4-((2-acetylaminooxy)-1-oxopropyl)amino)phenyl]-1,2-dihydro-2-oxo-3-pyridinyl]carbonyl]amino]phenylacetyle]amino]-3-[(acetoxy)methyl]-8-oxo-, monosodium salt, [(6R-(6a,7B))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



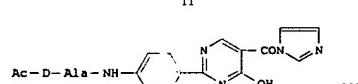
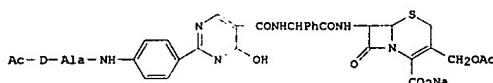
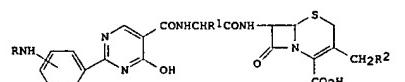
● Na

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of

L3 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:121570 CAPLUS
DOCUMENT NUMBER: 94:121570
TITLE: N-(2-(Acylaminoacylamino or aminoacylamino)phenyl)-4-hydroxy-5-pyrimidinylcarbonylcephalosporin compounds and compositions containing them
INVENTOR(S): Haskell, Theodore Herbert; Mich, Thomas Frederick; Sanchez, Joseph Peter; Schweiss, Dietrich
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 81 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| EP 15772 | A1 | 19800917 | EP 1980-300737 | 19800311 |
| R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE | | | | |
| US 4311699 | A | 19820119 | US 1980-112656 | 19800131 |
| JP 55147291 | A2 | 19801117 | JP 1980-31476 | 19800311 |
| PRIORITY APPLN. INFO.: | | | US 1979-19992 | A 19790312 |
| | | | US 1980-112656 | A 19800131 |

GI



AB Cephalosporins I (R = amino acid or peptide residue; R1 = Ph, 4-HOC6H4, 2-thienyl, 1,4-cyclohexadienyl; R2 = OAc, O2CNH2, heterocyclithio) were prepared. Thus II was prepared by treating cephaloglycine with imidazolidine III and NaOH. III was prepared by treating 4-H2NC6H4C(:NH)NH2·2HCl with EtOCH:Cl(CO2Et)2, acylating the resulting aminophenylpyrimidinecarboxylic

acid with Ac-D-Ala-OH, and converting to the imidazolidine. II had a min. inhibitory concn. against Pseudomonas of 3.1 µg/mL.

IT 76718-35-9P

RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal activity of)

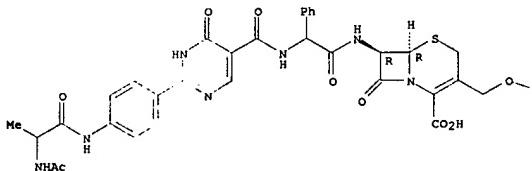
RN 76718-35-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[(2-(4-[(2-(acetylamino)-1-oxopropyl]amino)phenyl]-1,4-dihydro-4-oxo-5-pyrimidinylcarbonyl)amino]phenylacetylethyleneimine-3-[(aminocarbonyl)oxymethyl]-8-oxo-, monosodium salt, [6R-(6a,7B)]- (9CI) [CA INDEX NAME]

Absolute stereochemistry.

PAGE 1-A



● Na

PAGE 1-B



=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 77.57 | 78.66 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -11.25 | -11.25 |

STN INTERNATIONAL LOGOFF AT 14:05:08 ON 27 JAN 2006